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CERTIFICATE OF VERIFICATION

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state that the attached document is a true and complete translations to the best of my knowledge of International Patent Application No. PCT/JP96/01799 filed on June 28, 1996.

Dated this 19th day of May, 1998

Signature of translator: Shizuo Nakamura

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DESCRIPTION

TRIAZINE DERIVATIVE

Technical Field

The present invention relates to a novel triazine derivative, a process for the production thereof and a herbicide containing the above triazine derivative as an active ingredient. More specifically, it relates to a triazine derivative which causes no phytotoxicity on cotton and can selectively control, at a low dosage, a broad range of upland weeds including velvetleaf belonging to malvaceous weeds to which cotton also belongs, a process for effectively producing the above triazine derivative and a herbicide containing the above triazine derivative as an active ingredient.

Technical Background

Herbicides are very important chemicals for labor-saving in weed control and improving the productivity of agricultural and horticultural crops. Herbicides have been therefore actively studied and developed for many years, and a diversity of herbicides have been and are practically used. Even today, however, it is still desired to develop novel herbicides having herbicidal properties, particularly chemicals which can selectively control object weeds at a low dosage without causing phytotoxicity on cultivated crops.

On the other hand, it is known that annual gramineous weeds such as large crabgrass and annual broad-leaved weeds such as morning glory, slender amaranth, cocklebur and velvetleaf occur in cotton fields. In cotton planting, it is very important to control these weeds effectively at a low dosage in view of environmental pollution and without causing phytotoxicity on cotton.

Since cotton comes under malvaceous weeds, particualrly, a chemical having herbicidal activity on velvetleaf which also comes under malvaceous weeds is liable to cause phytotoxicity on cotton. It is therefore an essential object to develop a chemical which has high herbicidal activity on velvetleaf and has excellent inter-genus selectivity between cotton and velvetleaf.

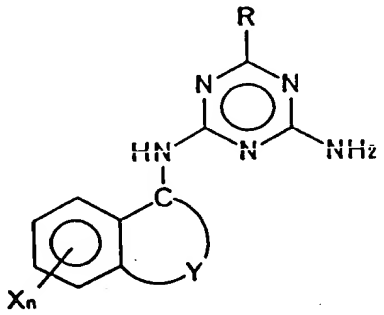
Various compounds have been and are known as triazine-containing herbicides. For example, it is known that 2-chloro-4,6-bis(alkylamino)-s-trizaine derivatives have broad herbicidal spectra and are useful as herbicides. However, these known triazine-containing herbicides requires large dosages for attaining high herbicidal efficacy. And, these chemicals are causing environmental problems that they contaminate groundwater, etc., due to their high percolation through soil.

Disclosure of the Invention

Under the circumstances, the present invention aims at providing a herbicidal compound which exhibits a sufficient herbicidal efficacy at a low dosage and is environmentally safe and which has excellent inter-genus selectivity between cotton and velvetleaf.

For achieving the above object, the present inventors have made diligent studies and have found that a novel triazine derivative in which a phenyl-group-fused carbon-chain cyclic group and a trizine ring are bonded to each other, or a chroman ring and a triazine ring are bonded to each other, through an amino group causes no phytotoxicity on cotton and exhibits excellent herbicidal activity on velvetleaf which is a malvaceous weed as well as cotton.

That is, the gist of the present invention is a triazine derivative of the general formula (I),



(I)

wherein X is a halogen atom, a hydroxyl group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_4 alkoxy group, a C_1-C_4 alkylthio group, a C_1-C_4 alkylsulfonyl group, a C_1-C_6 haloalkyl group, a C_1-C_4 haloalkoxy group, a phenyl-substituted C_1-C_4 alkyl group, a phenyl group or a phenoxy group, provided that when the number of X is plural, plural substituents X may be the same as, or different from, each other or two vicinal substituents X may form a saturated or unsaturated five-membered or six-membered ring together with a carbon-carbon bond in a benzene ring, n is an integer of 0 or 1 to 4,

R is

(1) a C_1-C_6 alkyl group or

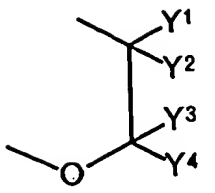
(2) a substituted C_1-C_6 alkyl group having 1 to 13 substituents of one or two kinds selected from the class consisting of

i) a halogen atom

ii) a hydroxyl group and

iii) a C_1-C_8 alkoxy group whose alkyl portion may contain a hetero atom, and

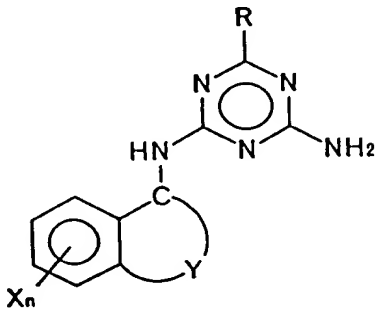
Y is a C_2-C_4 alkylene group which may be substituted with 1 to 8 C_1-C_6 alkyl groups or a divalent group of the formula (a),



(a)

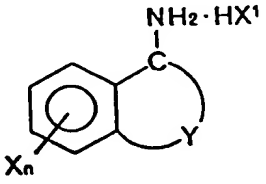
in which each of Y^1 to Y^4 is independently a hydrogen atom or a C_1-C_4 alkyl group.

Further, the gist of the present invention is a process for the production of a triazine derivative of the general formula (I),



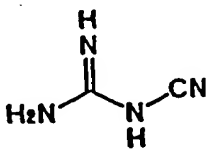
(I)

wherein X, n, Y and R are as defined above, which comprises reacting a compound of the general formula (II),



(II)

wherein X, n and Y are as defined above and X^1 is a halogen atom,
with cyanoguanidine of the formula (III),



(III)

and then reacting the reaction product with an ester of of the general formula (IV),

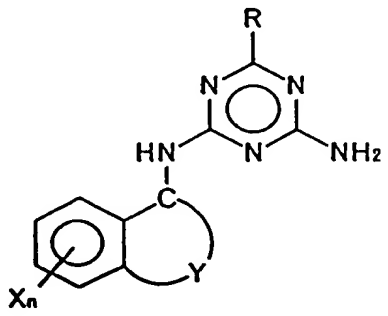
$$RCOOR^1 \qquad (IV)$$

wherein R is as defined above and R^1 is a C_1-C_4 alkyl group.

Further, the gist of the present invention is a herbicide containing the triazine derivative of the above general formula (I) or a salt thereof as an active ingredient.

Best Modes for Practicing the Invention

The triazine derivative of the present invention (to be sometimes referred to as "triazine derivative (I) hereinafter) is a compound having the following general formula (I).



(I)

In the above general formula (I), X is a halogen atom, a hydroxyl group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_4 alkoxy group, a C_1-C_4 alkylthio group, a C_1-C_4 alkylsulfonyl group, a C_1-C_6 haloalkyl group, a C_1-C_4 haloalkoxy group, a phenyl-group-substituted C_1-C_4 alkylgroup, a phenyl group or a phenoxy group.

When the above X is a halogen atom, specific examples of the halogen atom include a chlorine atom, a bromine atom, a fluorine atom and an iodine atom. The halogen atom is preferably a chlorine atom, a fluorine atom

or a bromine atom.

When X is a C_1-C_6 alkyl group, specific examples thereof include methyl, ethyl, propyl, butyl, pentyl and hexyl. Those alkyl groups having 3 to 6 carbon atoms may be linear or branched. Further, the C_1-C_6 alkyl group may be a cycloalkyl group per se, such as cyclopropyl, cyclobutyl, cyclpentyl and cyclohexyl. Further, it may be an alkyl group containing a cycloalkyl group, such as cyclopropylmethyl. The above C_1-C_6 alkyl group is preferably methyl, ethyl, i-propyl or t-butyl, particularly preferably methyl.

When X is a C_1-C_4 alkoxy group, specific examples thereof include methoxy, ethoxy, propoxy and butoxy. The alkoxy group having 3 or 4 carbon atoms may be linear or branched. Specific examples of the C_1-C_4 alkoxy group also include cyclopropoxy on which methyl may be substituted and cyclobutoxy. The C_1-C_4 alkoxy group is preferably methoxy.

When X is a C_1-C_4 alkylthio group, specific examples thereof include $-SCH_3$, $-SC_2H_5$, $-SC_3H_7$ and $-SC_4H_9$ groups. Of these, the alkylthio group having 3 or 4 carbon atoms may be linear or branched. The C_1-C_4 alkylthio group is preferably $-SCH_3$.

When X is a C_1-C_4 alkylsulfonyl group, specific examples thereof include $-SO_2CH_3$, $-SO_2C_2H_5$, $-SO_2C_3H_7$ and $-SO_2C_4H_9$ groups. Of these, the alkylsulfonyl group having 3 or 4 carbon atoms may be linear or branched. The C_1-C_4 alkylsulfonyl group is preferably $-SO_2CH_3$.

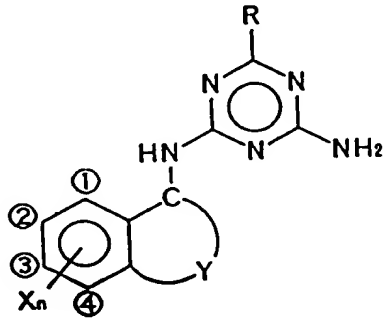
The C_1-C_6 haloalkyl group as one embodiment of X is a group formed by replacing 1 to 13 hydrogen atoms bonding to carbon atom(s) of the above C_1-C_6 alkyl group with the above halogen atom(s). Specific examples of the C_1-C_6 haloalkyl group include $-CF_3$, $-CH_2F$, $-CCl_3$ and $-CH_2CF_3$ groups. The C_1-C_6 haloalkyl group is preferably $-CF_3$.

The C_1-C_4 haloalkoxy group as one embodiment of X

is a group is a group formed by replacing 1 to 9 hydrogen atoms bonding to carbon atom(s) of the above C_1-C_4 alkoxy group with the above halogen atom(s). Specific examples of the C_1-C_4 haloalkoxy group include $-OCF_3$, $-OCCl_3$ and $-OCH_2F$ groups. The C_1-C_4 haloalkoxy group is preferably $-CF_3$.

The phenyl-group-substituted C_1-C_4 alkyl group as one embodiment of X is a group formed by replacing one or at least two hydrogen atoms bonding to carbon atom(s) of a C_1-C_4 alkyl group with phenyl group(s). The above C_1-C_4 alkyl group includes methyl, ethyl, propyl and butyl, and of these, the propyl and the butyl may be linear or branched. Specific examples of the phenyl-group-substituted C_1-C_4 alkyl group include groups of $-CH_2Ph$ (Ph represents a phenyl group) and $-CH_2CH_2Ph$, and it is preferably $-CH_2Ph$.

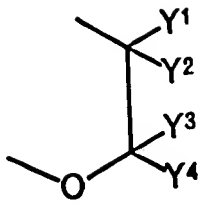
The position on which X is substituted is as follows. When Y to be explained later is a C_2-C_4 alkylene group which may be substituted with 1 to 8 C_1-C_4 alkyl groups, X may be positioned on any carbon of an aromatic group fused with a carbon-chain ring containing Y. Preferably, of positions ① to ④ shown in the following general formula (I), on which X can be substituted, the position ②, the position ③ or the position ④, both the positions ② and ④ or both the positions ③ and ④ is/are preferred.



(I)

When Y to be explained later is a divalent group

of the formula (a),



(a)

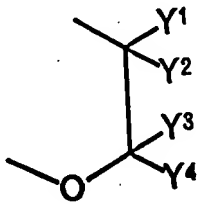
wherein Y^1 to Y^4 are as defined above,

X can be substituted on any one of four carbon atoms at 5th to 8th positions of a chroman ring.

In the above general formula (I), n which represents the number of substituent(s) X is an integer of 0 or 1 to 4, preferably 0, 1 or 2. When n is 2 to 4, i.e., when the number of substituent(s) X is 2 to 4, 2 or more substituents X may be the same as, or different from, each other.

Further, two vicinal substituents X may form a saturated or unsaturated five-membered or six-membered ring together with a carbon-carbon bond of a benzene ring. That is, the substituents X may form an indene ring, an indane ring, a naphthalene ring or a tetralin ring together with a benzene ring to which the substituents X bond.

In the above general formula (I), Y is a C_2-C_4 alkylene group which may be substituted with 1 to 8 C_1-C_4 alkyl group(s) or a divalent group of the formula (a).

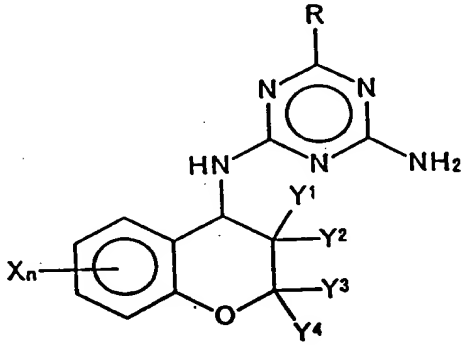


(a)

When Y is the above C_2-C_4 alkylene group, particularly, a group in which a carbon-chain ring is fused with a phenyl group is a so-called indanyl group when Y is an ethylene group (C_2 alkylene group), and it is a so-called tetralinyl group when Y is a propylene group (C_3 alkylene group).

Specific examples of the C_1-C_4 alkyl group(s) which may be substituted on the C_2-C_4 alkylene group as Y are the same as C_1-C_4 alkyl groups of the C_1-C_6 alkyl group in X. The above C_1-C_4 alkyl group is preferably methyl. The number of the C_1-C_4 alkyl group(s) which may be substituted on the C_2-C_4 alkylene group as Y is 1 to 8. The C_1-C_4 alkyl group(s) which may be substituted on the alkylene group as Y may be substituted on any hydrogen atom(s) of four hydrogen atoms of an ethylene group when the alkylene group is an ethylene group (C_2), on any hydrogen atoms of six hydrogen atoms of a propylene group when the alkylene group is a propylene group (C_3) or on any hydrogen atoms of eight hydrogen atoms of a butylene group when the alkylene group is a butylene group (C_4).

When Y is a divalent group of the above formula (a), the triazine derivative of the present invention can be represented by the following general formula (I'),



(I')

wherein X, n and R are as defined in the general formula (I).

In the above general formula (I'), each of Y^1 to Y^4 is independently a hydrogen atom or a C_1-C_4 alkyl group, preferably a hydrogen atom or methyl.

In the general formula (I), R is

(1) a C_1-C_6 alkyl group or

(2) a substituted C_1-C_6 alkyl group having 1 to 13 substituents of one or two kinds selected from the class consisting of

i) a halogen atom

ii) a hydroxyl group and

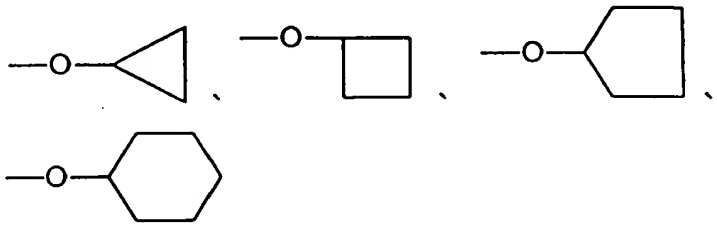
iii) a C_1-C_8 alkoxy group whose alkyl portion may contain a hetero atom.

Specific examples of the C_1-C_6 alkyl group in (1) include those explained concerning X, and the C_1-C_6 alkyl group is preferably t-butyl.

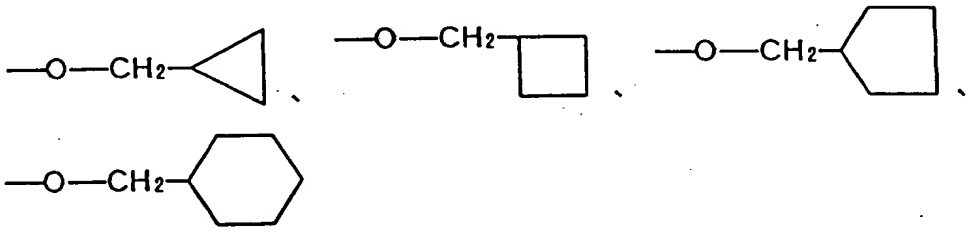
Specific examples of i) the halogen atom as a substituent of one kind in the substituted C_1-C_6 alkyl group in (2) include those explained concerning X, and the halogen atom is preferably a fluorine atom or a chlorine atom. Therefore, specific examples of a halogen-atom-substituted C_1-C_6 alkyl group included in the substituted C_1-C_6 alkyl group in (2) are $-CF_3$, $-CCl_3$, $-CH_2F$, $-CH_2Cl$, $-CH_2Br$, $-C_2F_5$, $-CH_2CH_2F$, $-CHF(CH_3)$, $-CHCl(CH_3)$, $-CHBr(CH_3)$, $-CHF(CF_3)$, $-CF(CH_3)_2$, $-CCl(CH_3)_2$, $-CBr(CH_3)_2$, $-CHF(CH_2CH_3)$, $-CHCl(CH_2CH_3)$ and $-CHBr(CH_2CH_3)$ groups. The halogen-atom-sbustituted C_1-C_6 alkyl group is preferably $-CF_3$, $-CHF(CH_3)$, $-CHF(CF_3)$, $-CF(CH_3)_2$ or $-CCl(CH_3)_2$.

Specific examples of a hydroxyl-substituted C_1-C_6 alkyl group included in the substituted C_1-C_6 alkyl group in (2) are $-CH_2OH$, $-C_2H_4OH$, $-CH(OH)CH_3$, $-CH(OH)C_2H_5$, $-C(CH_3)_2OH$ and $-C(CH_3)_2CH_2OH$ groups, and the hydroxyl-substituted C_1-C_6 alkyl group is preferably $-CH(OH)C_2H_5$.

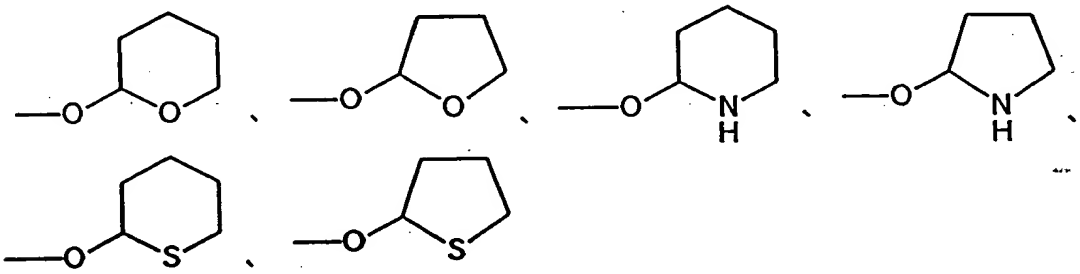
Specific examples of iii) the C_1-C_8 alkoxy group whose alkyl portion may contain a hetero atom, as a substituent of another kind in the substituted C_1-C_6 alkyl group in (2), include aliphatic alkoxy groups such as methoxy, ethoxy, propoxy, butoxy, pentoxy, hexanoxy, heptanoxy and octanoxy;
alicyclic alkoxy groups such as



alicyclic-aliphatic alkoxy groups such as



and groups in which a heterocyclic group (heterocyclic group refers to a cyclic group containing at least one hetero atoms (e.g., oxygen atom, nitrogen atom, sulfur atom, or the like)) and an oxygen atom bond to each other, such as

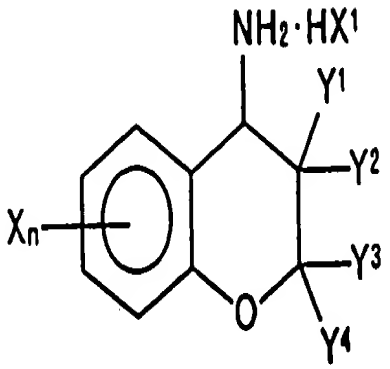


The groups in which a heterocyclic group and an oxygen atom bond to each other is a group in which an oxygen atom bonds to the above heterocyclic group so as to form an ether bond.

Specific examples of the C_1-C_8 alkoxy-substituted C_1-C_6 alkyl group which is included in the substituted C_1-C_6 alkyl group in (2) and contains, as a substituent, the C_1-C_8 alkoxy group whose alkyl portion may contain a hetero atom, preferably include aliphatic-alkoxy-substituted alkyl groups such as $-CH_2-OCH_3$, $-CH_2OC(CH_3)_3$, $-C_2H_4-OCH_3$, $-C_3H_6-OCH_3$, $-C_3H_6-OC_2H_5$, $-CH(CH_3)OCH_3$, $-CH(CH_2CH_3)OCH_3$, $-CH(CH_3)CH_2OCH_3$ and $-C(CH_3)_2CH_2OCH_3$ groups; and alkyl groups on which a combination of a heterocyclic group and an oxygen atom is substituted, such as

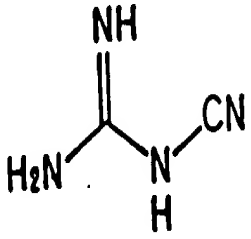
alkyl group having substituent(s) of one or two kinds selected from the above three substituents i), ii) and iii) is/are substituted. The total number of the substituent(s) is 1 to 13. Specific examples of the C_1-C_6 alkyl group having substituents of two kinds include $-CH(CF_3)OH$, $-CH(CF_3)OCH_3$ and $-CF_2OCH_3$ groups.

The process for the production of the triazine derivative (I), provided by the present invention, comprises a reaction in a first step in which a compound of the general formula (II),



(II)

wherein X, n and Y are as explained in the above triazine derivative (I), and X^1 is a halogen atom, and cyanoguanidine of the formula (III)



(III)

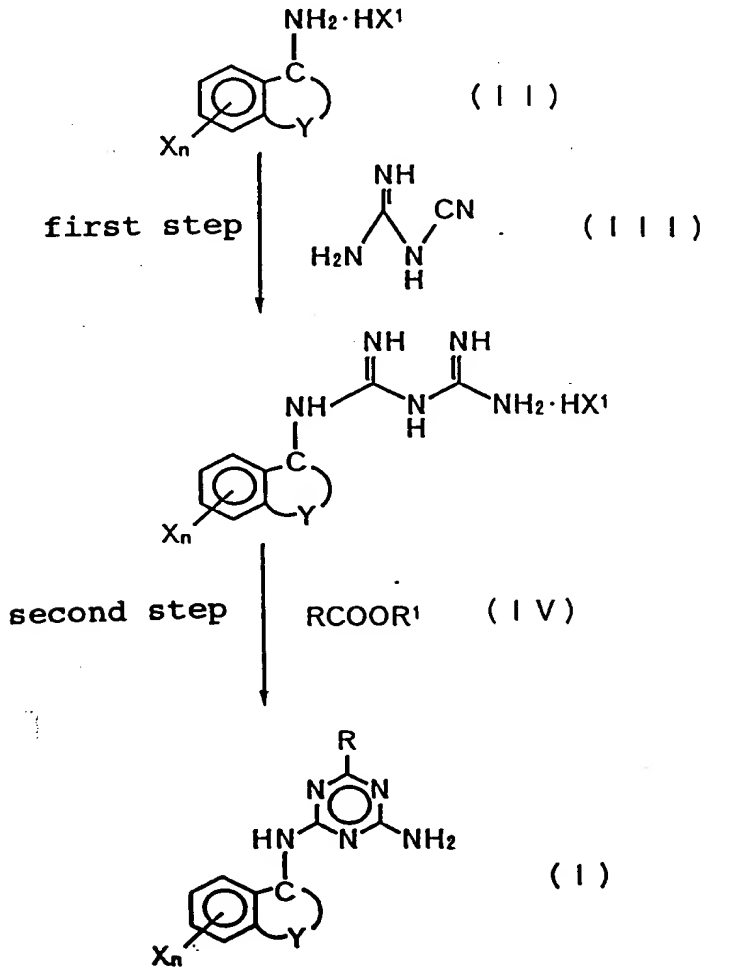
are allowed to react, to bond an amino group of the compound (II) and a cyano group of the cyanoguanidine (III) to each other; and

a reaction in a second step in which the reaction product is then reacted with an ester of the general formula (IV),

$RCOOR^1$ (IV)

wherein R is as explained in the above triazine derivative (I) and R^1 is a C_1-C_4 alkyl group,
in the presence of a catalyst.

The process for the production of the triazine derivative, provided by the present invention, will be shown by a reaction scheme below.



can be selected from alcohols such as methanol, ethanol and isopropanol; ketones such as acetone, methyl ethyl ketone and cyclohexanone; aliphatic hydrocarbons such as n-hexane, n-heptane and n-decane; cyclic hydrocarbons such as benzene, decalin and alkylnaphthalene; chlorinated hydrocarbons such as carbon tetrachloride, methylene dichloride, chlorobenzene and dichlorobenzene; ethers such as tetrahydrofuran and dioxane; and further, kerosene. Aliphatic hydrocarbons are preferred, and n-decane is particularly preferred.

Preferably, a salt of the amine derivative (II) and the cyanoguanidine (III) are reacted in an equivalent ratio.

Specific examples of an acid (HX^1) for forming the salt of the amine derivative (II) include hydrochloric acid (HCl), hydrobromic acid (HBr) and hydrofluoric acid (HF), and hydrochloric acid (HCl) is preferred.

Although not specially limited, the reaction temperature is generally 80 to 200°C, preferably 120 to 150°C. The reaction time is generally 2 to 15 hours, preferably approximately 4 to 7 hours.

The reaction in the second step is preferably carried out in the presence of a catalyst. The catalyst that can be used in this reaction includes, for example, alkoxides such as sodium methoxide, sodium ethoxide and magnesium diethoxide; inorganic bases such as sodium phosphate, potassium carbonate, sodium hydroxide and potassium hydroxide; and organic bases such as 1,8-diazabicyclo[5,4,0]-7-undecene (DBU), 1,5-diazabicyclo[4,3,0]-5-nonene (DBN), triethylamine and pyridine. Sodium methoxide and sodium ethoxide are

preferred. The amount of the base based on the amine derivative (II) is generally 1.1 to 10 equivalent amount, preferably 1.5 to 4 equivalent amount.

The amount of the ester (IV) used in the above reaction is generally 1 to 10 equivalent amount, preferably 1 to 4 equivalent amount, based on the amine derivative (II).

Preferably, the above reaction is carried out in the presence of a solvent. The solvent that can be used in the above reaction includes, for example, alcohols such as methanol, ethanol and isopropanol; ketones such as acetone, methyl ethyl ketone and cyclohexanone; aliphatic hydrocarbons such as n-hexane, n-heptane and n-decane; cyclic hydrocarbons such as benzene, decalin and alkylnaphthalene; chlorinated hydrocarbons such as carbon tetrachloride, methylene dichloride, chlorobenzene and dichlorobenzene; and ethers such as tetrahydrofuran and dioxane. Alcohols are preferred, and methanol and ethanol are particularly preferred.

In the above reaction, the reaction temperature is generally -10 to 100°C, preferably 0 to 70°C. The reaction time is generally 2 to 30 hours, preferably approximately 5 to 15 hours.

After completion of the reaction, according to a conventional method, a reaction mixture is poured into water, and extracted with an organic solvent such as ethyl acetate. An obtained organic layer is dehydrated with a dehydrating agent such as anhydrous sodium sulfate, and the organic solvent is removed by means of distilling it under reduced pressure or some other means. An obtained residue is purified by means of silica gel column chromatography or some other means, whereby the intended triazine derivative (I) can be isolated in the form of a crystal.

The hearbicide containing the triazine derivative

(I) or its salt of the present invention as an active ingredient, provided by the present invention, will be explained below.

The herbicide of the present invention contains the novel triazine derivative of the general formula (I), provided by the present invention, or a salt thereof as an active ingredient. These compounds are used by mixing them with a liquid carrier such as a solvent or a solid carrier such as a mineral fine powder and preparing the resultant mixtures in the form of a wettable powder, an emulsifiable concentrate, a dust or granules. When the above preparations are formed, a surfactant can be added for imparting the above compounds with emulsifiability, dispersibility or spreadability.

When the herbicide of the present invention is used in the form of a wettable powder, generally, 10 to 55 % by weight of the triazine derivative (I) or the salt thereof, provided by the present invention, 40 to 88 % by weight of a solid carrier and 2 to 5 % by weight of a surfactant are mixed to prepare a composition, and the composition can be used.

When the herbicide of the present invention is used in the form of an emulsifiable concentrate, generally, it is sufficient to prepare a composition by mixing 20 to 50 % by weight of the triazine derivative (I) or the salt thereof, provided by the present invention, 35 to 75 % by weight of a solvent and 5 to 15 % by weight of a surfactant.

When the herbicide of the present invention is used in the form of a dust, generally, it is sufficient to prepare a composition by mixing 1 to 15 % by weight of the triazine derivative (I) or the salt thereof, provided by the present invention, 80 to 97 % by weight of a solid carrier and 2 to 5 % by weight of a surfactant.

Further, when the herbicide of the present invention is used in the form of granules, generally, it is sufficient to prepare a composition by mixing 1 to 15 % by weight of the triazine derivative (I) or the salt thereof, provided by the present invention, 80 to 97 % by weight of a sold carrier and 2 to 5 % by weight of a surfactant.

The above solid carrier is selected from fine mineral powders, and examples of the mineral fine powders include oxides such as diatomaceous earth and slaked lime, phosphates such as apatite, sulfates such as gypsum, and silicates such as talc, pyroferrite, clay, kaolin, bentonite, acid clay, white carbon, powdered quartz and powdered silica.

The solvent is selected from organic solvents. Specific examples of the solvent include aromatic hydrocarbons such as benzene, toluene and xylene, chlorinated hydrocarbons such as o-chlorotoluene, trichloroethane and trichloroethylene, alcohols such as cyclohexanol, amyl alcohol and ethylene glycol, ketones such as isophorone, cyclohexanone and cyclohexenyl-cyclohexanone, ethers such as butyl cellosolve, diethyl ether and methyl ethyl ether, esters such as isopropyl acetate, benzyl acetate and methyl phthalate, amides such as dimethylformamide, and mixtures of these.

Further, the surfactant can be selected from anionic surfactants, nonionic surfactants, cationic surfactants and amphoteric surfactants (amino acid and betaine).

The herbicide of the present invention may contain, as an active ingredient, other herbicidally active component as required in combination with the triazine derivative (I) or its salt. The "other" herbicidally active component includes known herbicides such as phenoxy-,

sulfonylurea- and oxadiazone containing herbicides, and can be properly selected from these herbicides as required.

Further, the herbicide of the present invention may be used as a mixture with any one of insecticides, bactericides, plant growth regulators and fertilizers.

The present invention will be specifically explained with reference to Examples and Herbicide Examples hereinafter, while the present invention shall not be limited thereto.

(Example 1)

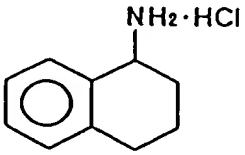
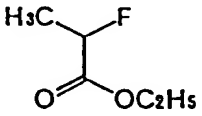
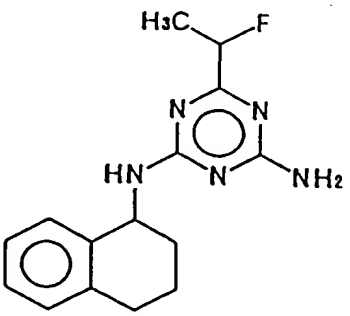
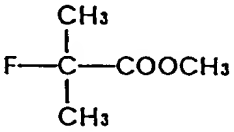
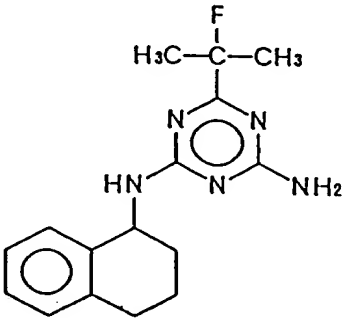
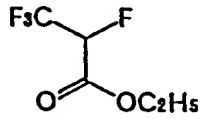
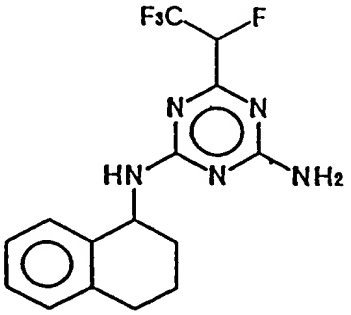
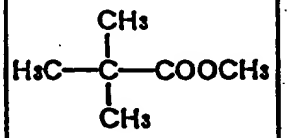
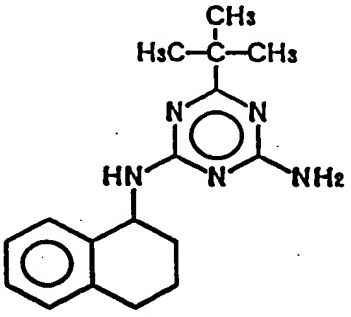
0.95 Gram (5.2 mmol) of 1-aminotetralin hydrochloride and 0.44 g (5.2 mmol) of cyanoguanidine were added to 20 ml of n-decane, and the mixture was stirred under heat at 135°C for 6 hours. After completion of the reaction, the reaction mixture was cooled, a formed precipitate was recovered by filtration and washed with 5 ml of n-hexane three times, and the solvent was removed under reduced pressure to give a solid. 1 Gram of the obtained solid was dissolved in 25 ml of absolute methanol, and to the resultant solution was added 1.9 g (10 mmol) of a 28 wt% sodium methoxide/methanol solution at room temperature. Further, 1.2 g (10 mmol) of ethyl α-fluoropropionate was dropwise added thereto, and the mixture was stirred at room temperature for 12 hours. After completion of the reaction, the reaction mixture was poured into 100 ml of water, and extraction was carried out with 50 ml of ethyl acetate three times. An obtained ethyl acetate layer was dried over anhydrous sodium sulfate, and the ethyl acetate was distilled off under reduced pressure. The resultant residue was purified by silica gel column chromatography (developer solution: hexane/ethyl acetate =

1/1 (volume ratio)) to give 0.68 g of 2-amino-4-(α-fluoroethyl)-6-(1'-tetralinylamino)-s-triazine as an end product in the form of a white crystal. Table 1 to be described later shows the structural formulae of the salt of a cycloalkylamine derivative and the ester both of which are used as raw materials and the structural formulae of the obtained triazine derivative and the yield thereof. Table 8 to be described later shows IR and NMR data of the obtained triazine derivative.

(Examples 2 - 4)

Triazine derivatives as end products were obtained in the same manner as in Example 1 except that the ethyl α-fluoropropionate was replaced with esters shown in Table 1. Table 1 to be described later shows the structural formulae of the salt of a cycloalkylamine derivative and the esters both of which are used as raw materials and the structural formulae of the obtained triazine derivatives and the yields thereof. Table 8 to be described later shows IR and NMR data of the obtained triazine derivatives.

Table 1

Ex. No.	Salt of cycloalkyl-amine derivative (II)as raw material	Ester (IV) as raw material	Obtained triazine Derivative (I)	Yield (%)
1				46
2	〃			46
3	〃			40
4	〃			35

(Examples 5 - 8)

Triazine derivatives as end products were obtained in the same manner as in Example 1 except that the 1-aminotetralin hydrochloride was replaced with salts of cycloalkylamine derivatives shown in Table 2. Tables 2 and 3 to be described later show the structural formulae of the salts of cycloalkylamine derivatives and the esters both of which are used as raw materials, the structural formulae of the obtained triazine derivatives and the yields thereof. Table 8 to be described later shows IR and NMR data of the obtained triazine derivatives.

Table 2

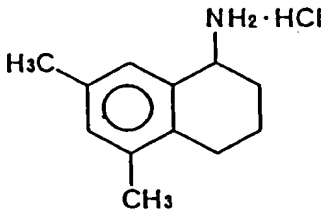
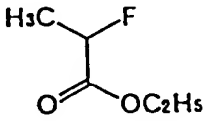
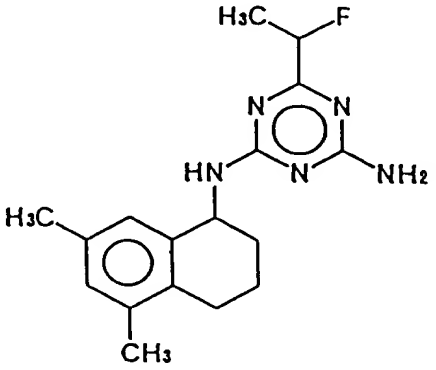
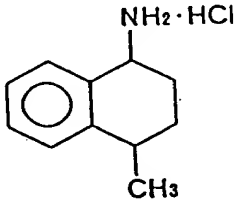
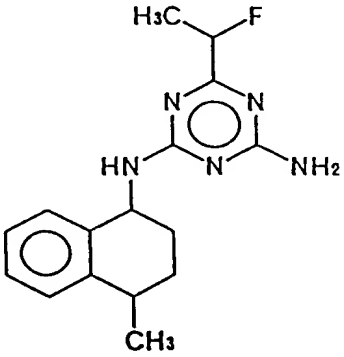
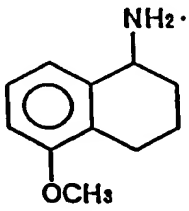
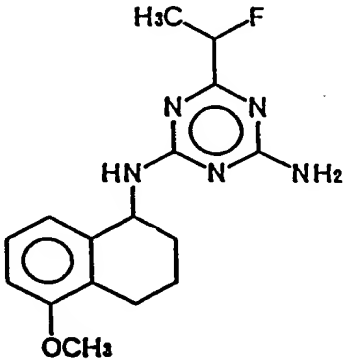
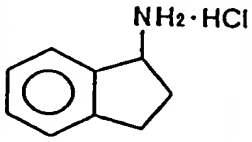
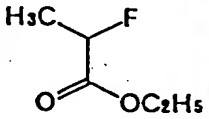
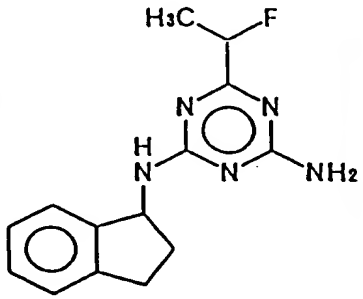
Ex. No.	Salt of cycloalkyl-amine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
5				45
6		〃		48
7		〃		35

Table 3

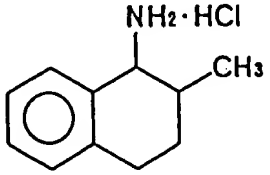
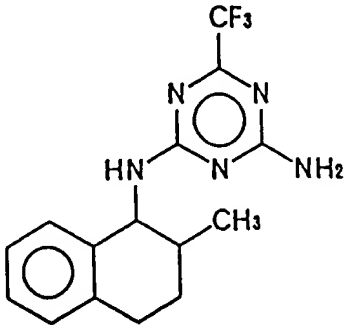
Ex. No.	Salt of cycloalkylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
8				49

(Example 9)

1.1 Grams (5.6 mmol) of 1-amino-2-methyltetralin hydrochloride and 0.48 g (5.6 mmol) of cyanoguanidine were added to 20 ml of n-decane, and the mixture was stirred under heat at 135°C for 6 hours. After completion of the reaction, the reaction mixture was cooled, a formed precipitate was recovered by filtration and washed with 5 ml of n-hexane three times, and the solvent was removed under reduced pressure to give a solid. 1 Gram of the obtained solid was dissolved in 25 ml of absolute methanol, and to the resultant solution was added 2.5 g (13 mmol) of a 28 wt% sodium methoxide/methanol solution at room temperature. Further, 1.85 g (13 mmol) of ethyl trifluoroacetate was dropwise added thereto, and the mixture was stirred at room temperature for 12 hours. After completion of the reaction, the reaction mixture was poured into 100 ml of water, and extraction was carried out with 50 ml of ethyl acetate three times. An obtained ethyl acetate layer was dried over anhydrous sodium sulfate, and the ethyl acetate was distilled off under reduced pressure. The resultant residue was purified by silica gel column chromatography (developer solution: hexane/ethyl acetate = 1/1 (volume ratio)) to give 1.0 g of 2-amino-4-

as an end product in the form of a white crystal. Table 4 to be described later shows the structural formulae of the salt of a cycloalkylamine derivative and the ester both of which are used as raw materials, the structural formula of the obtained triazine derivative and the yield thereof. Table 8 to be described later shows IR and NMR data of the obtained triazine derivative.

Table 4

Ex. No.	Salt of cycloalkylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
9		$CF_3COOC_2H_5$		58

(Example 10)

0.95 Gram (5.6 mmol) of 1-aminoindan hydrochloride and 0.48 g (5.6 mmol) of cyanoguanidine were added to 20 ml of n-decane, and the mixture was stirred under heat at 135°C for 6 hours. After completion of the reaction, the reaction mixture was cooled, a formed precipitate was recovered by filtration and washed with 5 ml of n-hexane three times, and the solvent was removed under reduced pressure to give a solid. 1 Gram of the obtained solid was dissolved in 25 ml of absolute methanol, and to the resultant solution was added 2.5 g (13 mmol) of a 28 wt% sodium methoxide/methanol solution at room temperature. Further, 1.56 g (13 mmol) of methyl α-fluoroisobutyrate was dropwise added thereto, and the

mixture was stirred at room temperature for 12 hours. After completion of the reaction, the reaction mixture was poured into 100 ml of water, and extraction was carried out with 50 ml of ethyl acetate three times. An obtained ethyl acetate layer was dried over anhydrous sodium sulfate, and the ethyl acetate was distilled off under reduced pressure. The resultant residue was purified by silica gel column chromatography (developer solution: hexane/ethyl acetate = 1/1 (volume ratio)) to give 0.99 g of 2-amino-4-(α-fluoro-α-methylethyl)-6-(1'-indanylamino)-s-triazine as an end product in the form of a white crystal. Table 5 to be described later shows the structural formulae of the salt of a cycloalkylamine derivative and the ester both of which are used as raw materials, the structural formula of the obtained triazine derivative and the yield thereof. Table 8 to be described later shows IR and NMR data of the obtained triazine derivative.

(Examples 11 - 14)

Triazine derivatives as end products were obtained in the same manner as in Example 10 except that the methyl α-fluoroisobutyrate was replaced with esters shown in Tables 5 and 6. Tables 5 and 6 be described later show the structural formulae of the salt of a cycloalkylamine derivative and the esters both of which are used as raw materials and the structural formulae of the obtained triazine derivatives and the yields thereof. Table 9 to be described later shows IR and NMR data of the obtained triazine derivatives.

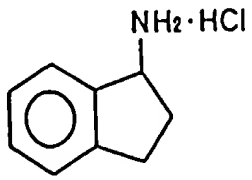
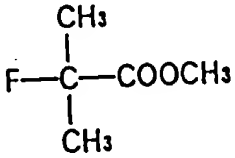
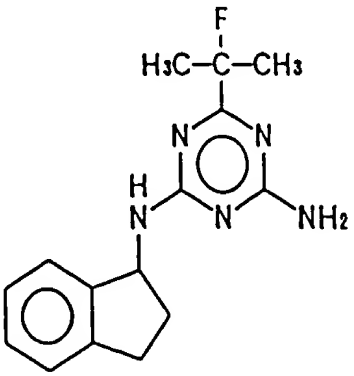
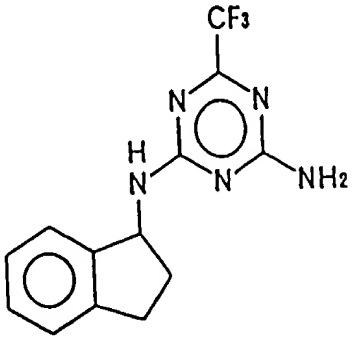
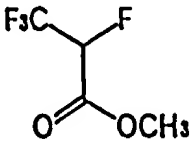
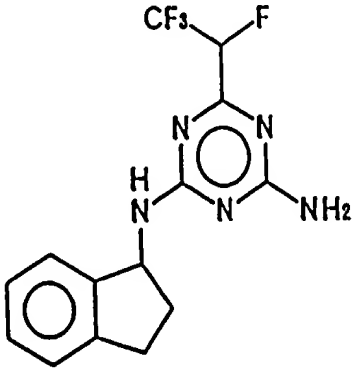
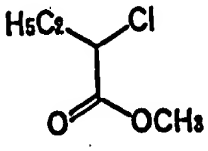
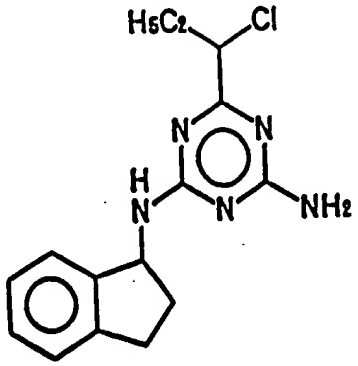
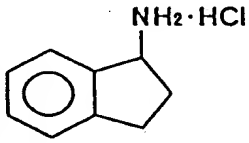
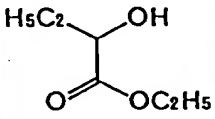
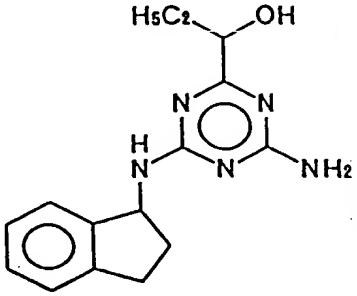
Ex. No.	amin derivative (II) as raw material	raw material	derivativ (I)	Id (%)
10				58
11	〃	$CF_3COOC_2H_5$		62
12	〃			38
13	〃			40

Table 6

Ex. No.	Salt of cycloalkylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
14				36

(Examples 15 - 17)

Triazine derivatives as end products were obtained in the same manner as in Example 10 except that the 1-aminotetralin hydrochloride was replaced with salts of cycloalkylamine derivatives shown in Table 7. Table 7 to be described later show structural formulae of the salts of cycloalkylamine derivatives and the esters both of which are used as raw materials, the structural formulae of the obtained triazine derivatives and the yields thereof. Table 9 to be described later shows IR and NMR data of the obtained triazine derivatives.

Table 7

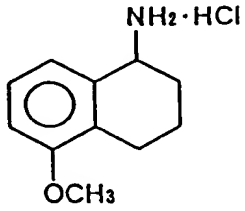
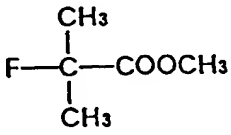
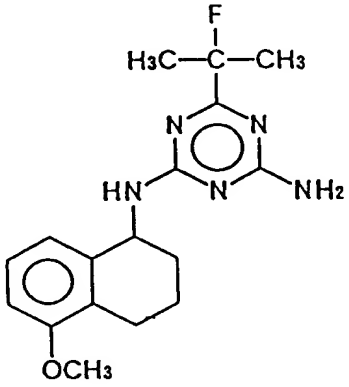
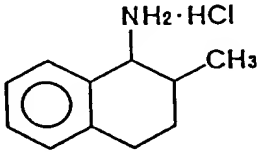
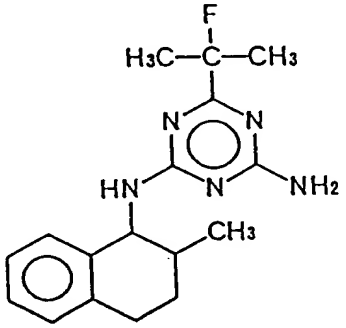
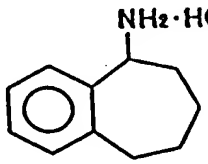
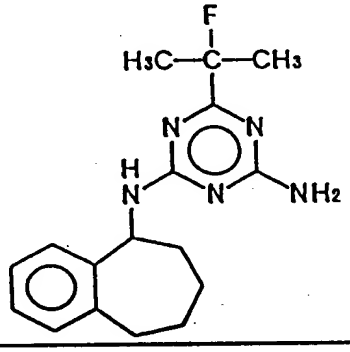
Ex. No.	Salt of cycloalkylamine derivative (II)as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
15				37
16		〃		73
17		〃		44

Table 8

Ex. No.	IR(cm^{-1})*1 s-triazine	^{1}H-NMR*2
1	1550	1.63(3H, dd, J=7.8, 24.3Hz, CH_3-CHF-), 1.70-2.20(4H, m, Ar-CHCH_2CH_2), 2.70-2.95(2H, m, Ar-CH_2), 4.80-5.80(5H, m, CHF, NH_2, CH-NH), 7.00-7.45(4H, m, C_6H_4)
2	1575	1.15-2.25(4H, m, Ar-CHCH_2CH_2), 1.65(6H, d, J=21.1Hz, 2Me), 2.50-3.00(2H, m, Ar-CH_2), 5.10-5.45(1H, m, NH), 5.45-5.85(1H, m, CH-NH), 5.85-6.60(2H, bs, NH_2), 6.90-7.50(4H, m, C_6H_4)
3	1570	1.70-2.25(4H, m, Ar-CHCH_2CH_2), 2.65-2.95(2H, m, Ar-CH_2), 4.85-5.90(5H, m, CHF, NH_2, CH-NH), 7.00-7.45(4H, m, C_6H_4)
4	1545	1.25(9H, s, t-Bu), 1.65-2.25(4H, m, Ar-CHCH_2CH_2), 2.60-2.95(2H, m, Ar-CH_2), 4.85-5.60(4H, m, NH_2, CH-NH), 6.95-7.50(4H, m, C_6H_4)
5	1570	1.56(3H, dd, J=6.6, 24.7Hz, CH_3-CHF-), 1.60-2.05(4H, m, Ar-CHCH_2CH_2), 2.17(3H, s, Ar-CH_3), 2.23(3H, s, Ar-CH_3), 2.40-2.75(2H, m, Ar-CH_2), 4.60-6.50(5H, m, CHF, NH_2, CH-NH), 6.88(1H, s, C_6H), 6.96(1H, s, C_6H)
6	1570	1.29(3H, d, J=7.3Hz, Ar-CHCH_3) 1.60(3H, dd, J=6.7, 24.6Hz, CH_3-CHF-), 1.55-2.40(4H, m, Ar-CHCH_2CH_2), 2.70-3.15(1H, m, Ar-CHCH$_3$), 4.75-6.50(5H, m, CHF, NH_2, CH-NH), 6.95-7.60(4H, m, C_6H_4)
7	1580	1.50(3H, d, J=6.9Hz, CH_3-CHF), 1.60-2.10(4H, m, Ar-CHCH_2CH_2), 2.65-2.90(2H, m, Ar-CH_2), 3.78(3H, s, OCH_3), 4.80-5.80(5H, m, CHF, NH_2, CH-NH), 6.55-7.30(3H, m, C_6H_3)
8	1570	1.45(3H, dd, J=6.8, 23.9Hz, CH_3-CHF-), 2.35-2.85(2H, m, Ar-CHCH_2), 2.70-3.15(2H, m, Ar-CH_2), 4.70-6.50(5H, m, CHF, NH_2, CH-NH), 7.05-7.50(4H, m, C_6H_4)
9	1590	0.90-1.20(3H, m, CH_3), 1.40-2.40(3H, m, Ar-CHCHCH_2), 2.65-3.00(2H, m, Ar-CH_2), 4.85-5.25(1H, m, CH-NH), 5.25-6.20(3H, m, NH_2, NH), 7.00-7.45(4H, m, C_6H_4)
10	1550	1.62(6H, d, J=22.8Hz, 2Me), 2.35-2.90(2H, m, Ar-CHCH_2), 2.75-3.10(2H, m, Ar-CH_2), 5.40-5.80(1H, m, CH-NH), 5.80-6.45(3H, m, NH_2, NH), 7.00-7.50(4H, m, C_6H_4)

*1 Potassium bromide tablet method

2 Solvent: Deut ro chlor form, Internal standard: T tramethylsilane (TMS)

Table 9

Ex. No.	IR(cm^{-1})*1 s-triazine	^{1}H-NMR*2
11	1590	2.40-2.85(2H, m, Ar-CHC$\underline{H}_2$), 2.70-3.15(2H, m, Ar-C$\underline{H}_2$), 5.35-5.90(2H, m, C$\underline{H}$-N$\underline{H}$), 5.85-6.45(2H, m, N$\underline{H}_2$), 7.05-7.50(4H, m, $C_6\underline{H}_4$)
12	1590	2.50-3.00(2H, m, Ar-CHC$\underline{H}_2$), 2.80-3.20(2H, m, Ar-C$\underline{H}_2$), 4.90-6.00(5H, m, C$\underline{H}$F, N$\underline{H}_2$, C$\underline{H}$-N$\underline{H}$), 7.10-7.60(4H, m, $C_6\underline{H}_4$)
13	1540	1.03(3H, t, J=7.6Hz, C$\underline{H}_3$), 1.80-2.40(2H, m, $CH_3C\underline{H}_2$), 2.40-2.80(2H, m, Ar-CHC$\underline{H}_2$), 2.75-3.10(2H, m, Ar-C$\underline{H}_2$), 4.44(1H, q, J=7.6Hz, C$\underline{H}$Cl), 5.25-5.95(4H, m, C$\underline{H}$-N$\underline{H}$, N$\underline{H}_2$), 7.05-7.50(4H, m, $C_6\underline{H}_4$)
14	1560	1.00(3H, t, J=6.8Hz, C$\underline{H}_3$), 1.50-2.30(2H, m, $CH_3C\underline{H}_2$), 2.40-2.85(2H, m, Ar-CHC$\underline{H}_2$), 2.75-3.15(2H, m, Ar-C$\underline{H}_2$), 4.15-4.50(1H, m, C$\underline{H}$OH), 5.00-5.35(2H, m, C$\underline{H}$-N$\underline{H}$), 5.35-5.80(2H, m, N$\underline{H}_2$), 7.10-7.40(4H, m, $C_6\underline{H}_4$)
15	1590	1.61(6H, d, J=22.0Hz, $C\underline{H}_3$-CF-C$\underline{H}_3$), 1.60-2.15(4H, m, Ar-CHC$\underline{H}_2C\underline{H}_2$), 2.60-2.90(2H, m, Ar-C$\underline{H}_2$), 3.75(3H, s, OC$\underline{H}_3$), 5.00-5.40(2H, m, C$\underline{H}$-N$\underline{H}$), 5.35-5.65(2H, m, N$\underline{H}_2$), 6.50-7.35(3H, m, $C_6\underline{H}_3$)
16	1570	0.85-1.25(3H, m, C$\underline{H}_3$), 1.63(6H, d, J=22.0Hz, $C\underline{H}_3$-CF-C$\underline{H}_3$), 1.40-2.30(3H, m, C$\underline{H}$CH$_2$), 2.65-3.05(2H, m, Ar-C$\underline{H}_2$), 4.80-5.20(1H, m, C$\underline{H}$-N$\underline{H}$), 5.25-6.30(3H, m, N$\underline{H}$, N$\underline{H}_2$), 6.90-7.40(4H, m, $C_6\underline{H}_4$)
17	1570	1.20-2.10(6H, m, Ar-CHC$\underline{H}_2C\underline{H}_2C\underline{H}_2$), 1.62(6H, d, J=22.2Hz, $C\underline{H}_3$-CF-C$\underline{H}_3$), 2.65-3.10(2H, m, Ar-C$\underline{H}_2$), 5.00-5.40(1H, m, NH), 5.60-6.60(3H, m, N$\underline{H}_2$, C$\underline{H}$-N$\underline{H}$), 6.85-7.40(4H, m, $C_6\underline{H}_4$)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilane (TMS)

(Examples 18 - 31)

Triazine derivatives as end products were obtained from salts of cycloalkylamine derivatives and esters shown in Tables 10 to 14 in the same manner as in Examples 1 to 17. Tables 10 to 14 to be described later

show the structural formulae of the salts of cycloalkylamine derivatives and the esters both of which are used as raw materials, the structural formulae of the obtained triazine derivatives and the yields thereof.

Table 10

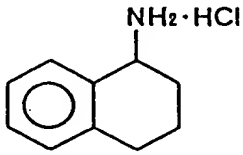
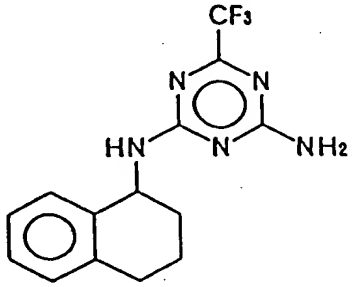
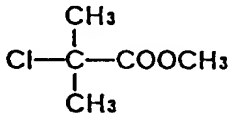
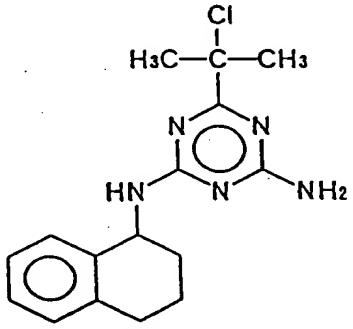
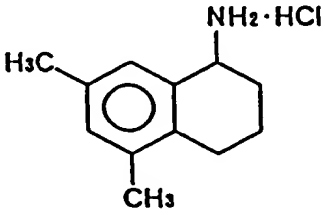
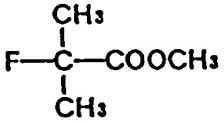
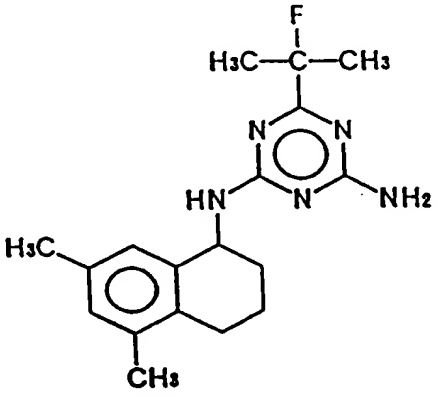
Ex. No.	Salt of cycloalkylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
18		$CF_3COOC_2H_5$		52
19	〃			47
20				45

Table 11

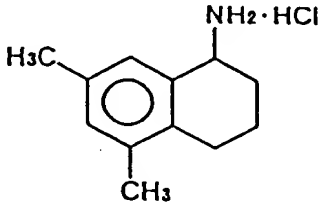
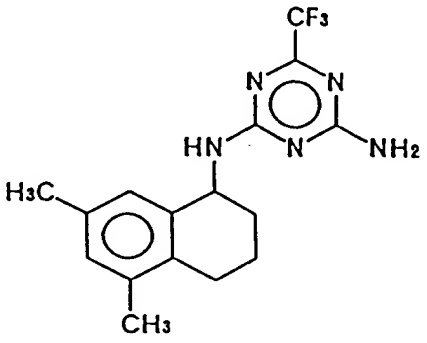
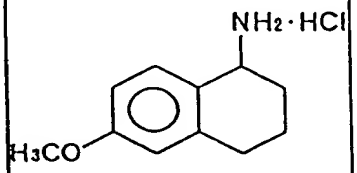
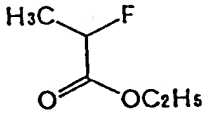
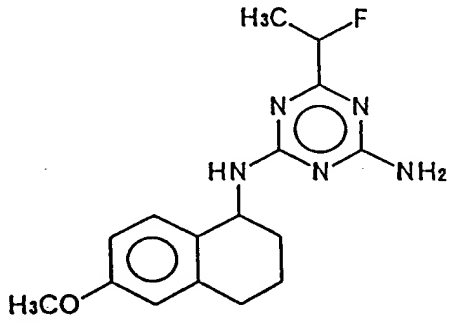
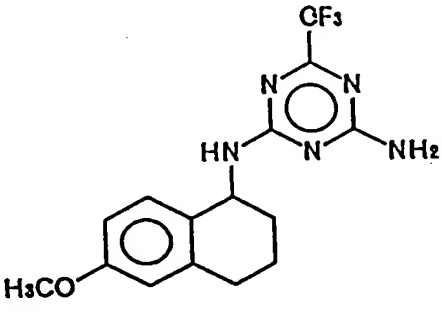
Ex. No.	Salt of cycloalkyl-amine derivative (II)as raw material	Ester (IV) as raw material	Obtained triazine Derivative (I)	Yield (%)
21		$CF_3COOC_2H_5$		56
22				51
23	〃	$CF_3COOC_2H_5$		69

Table 12

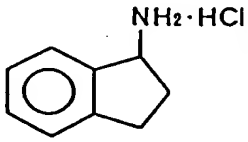
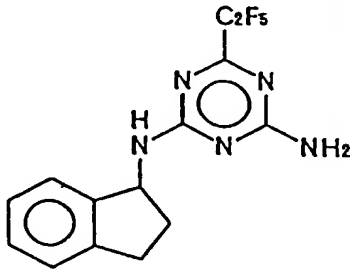
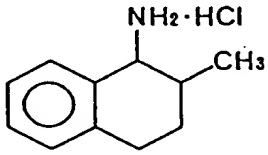
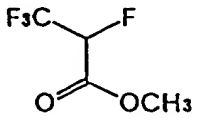
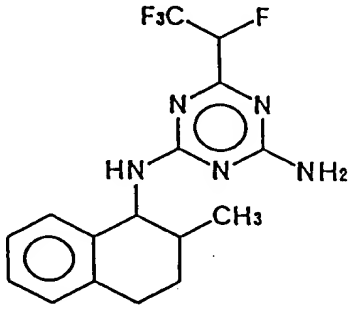
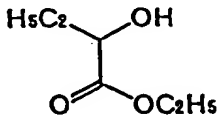
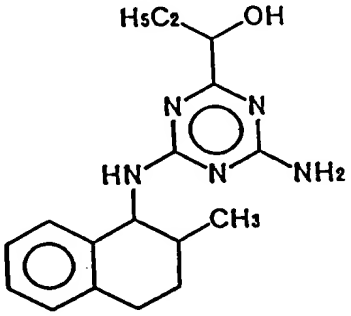
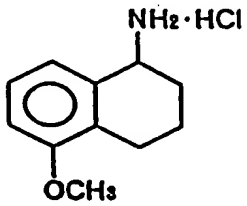
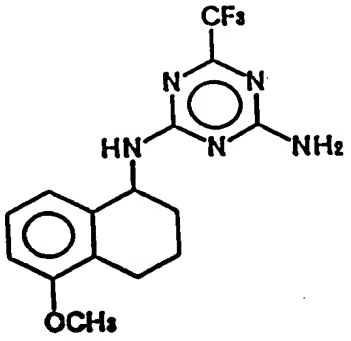
Ex. No.	Salt of cycloalkyl-amine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
24		$C_2F_5COOCH_3$		57
25				73
26	〃			35
27		$CF_3COOC_2H_5$		43

Table 13

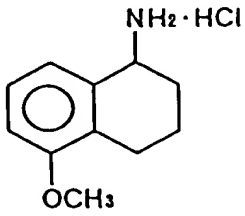
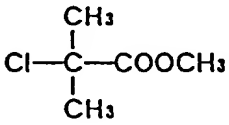
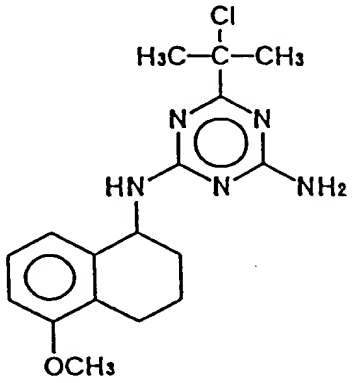
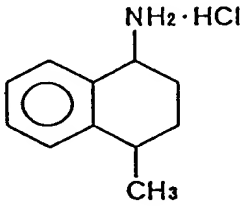
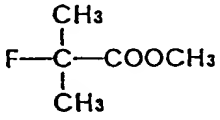
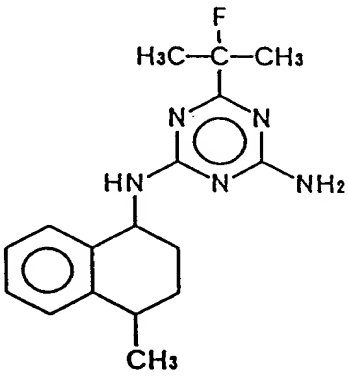
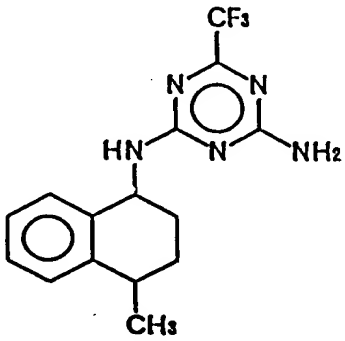
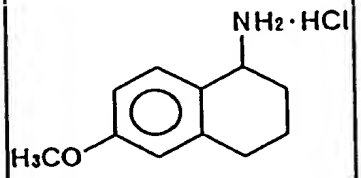
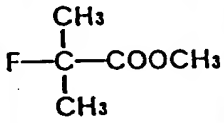
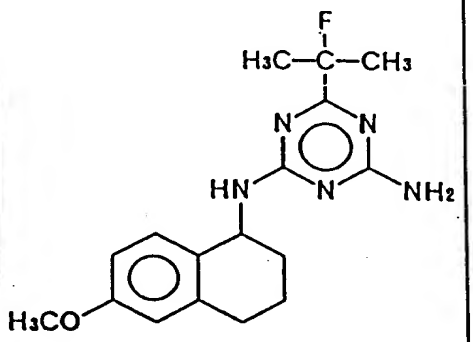
Ex. No.	Salt of cycloalkyl-amine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
28				41
29				53
30	〃	$CF_3COOC_2H_5$		58

Table 14

Ex. No.	Salt of cycloalkylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
31				70

(Example 32)

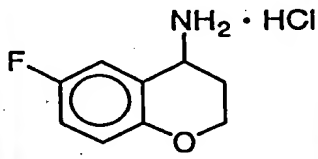
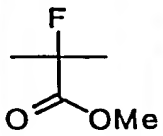
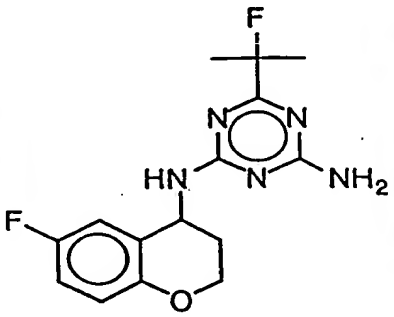
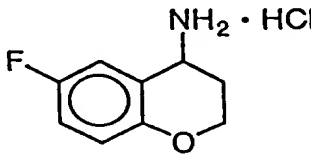
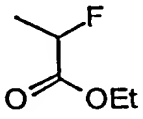
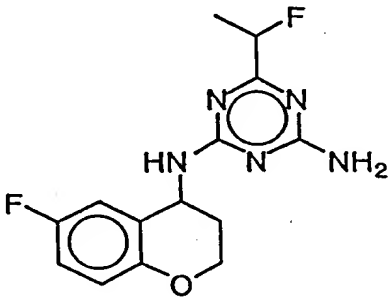
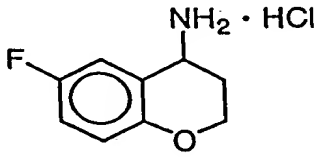
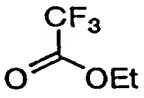
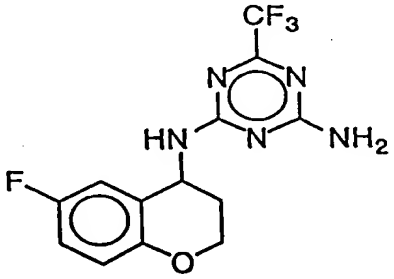
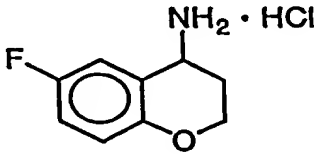
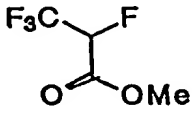
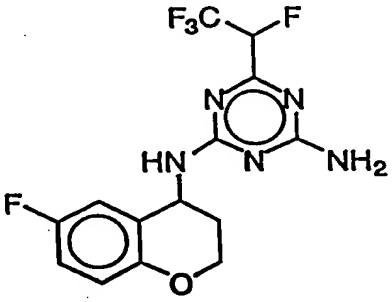
0.98 Gram (5.2 mmol) of 6-fluoro-4-chromanylamine hydrochloride, 0.44 g (5.2 mmol) of cyanoguanidine and 20 ml of n-decane were placed in a reactor, and stirred at 135°C for 6 hours. After completion of the reaction, the reaction mixture was cooled, a formed precipitate was recovered by filtration and washed with 5 ml of n-hexane three times, and the solvent contained in the obtained precipitate was removed under reduced pressure to give 1 g of a solid. This solid was dissolved in 25 ml of absolute methanol. To the resultant solution was added 1.9 g (10 mmol) of a 28 wt% sodium methoxide/methanol solution at room temperature. Further, 1.2 g (10 mmol) of methyl α-fluoroisobutyrate was dropwise added thereto, and the mixture was stirred at room temperature for 12 hours. After completion of the reaction, the reaction mixture was poured into 100 ml of water, and extraction was carried out with 50 ml of ethyl acetate three times. An obtained ethyl acetate layer was dried over anhydrous sodium sulfate, and the ethyl acetate was distilled off under reduced pressure. The resultant residue was purified by silica gel column chromatography (developer solution: hexane/ethyl acetate = 1/1 (volume ratio)) to give 0.68 g (yield 41 %) of 2-amino-4-(α-fluoro-α-methylethyl)-6-(6-fluoro-4-chromanyl)amino-

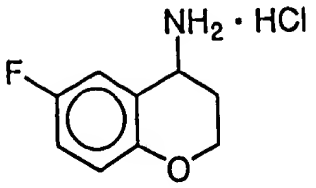
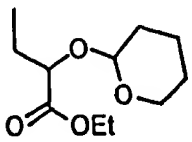
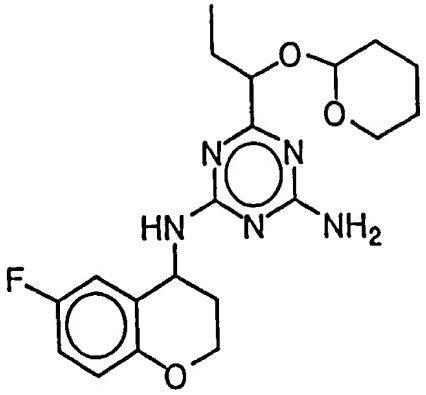
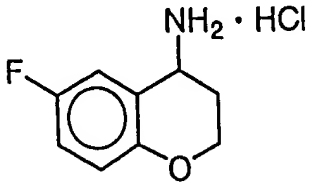
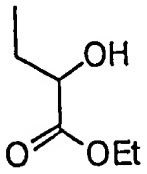
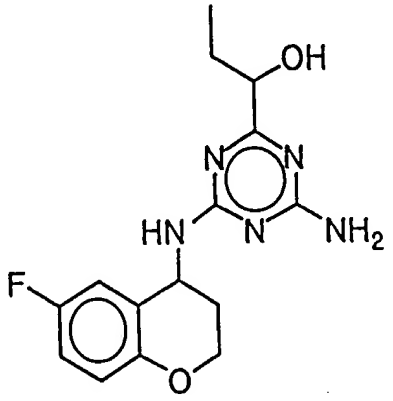
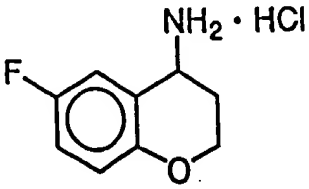
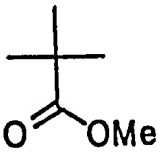
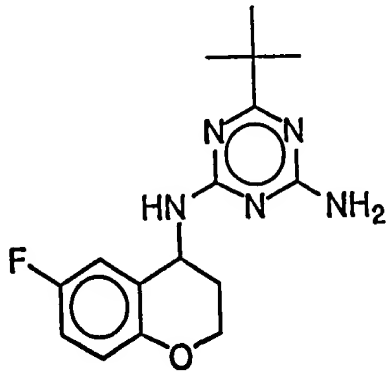
s-triazine as an end product in the form of a white crystal. Table 15 shows the structure and the yield of the obtained product, and Table 33 shows IR and NMR data thereof.

(Examples 33 - 38)

The same procedures as those in Example 32 were repeated except that the methyl α-fluoroisobutyrate used in Example 32 was replaced with esters shown in Table 15 or 16. Table 15 or 16 shows the structures and the yields of obtained products, and Table 33 shows IR and NMR data of the obtained products.

Table 15

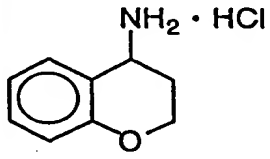
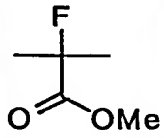
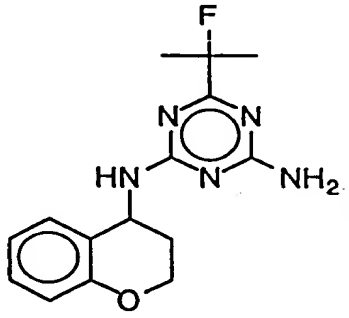
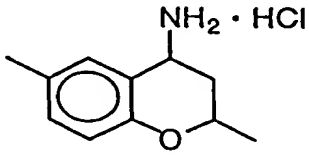
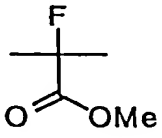
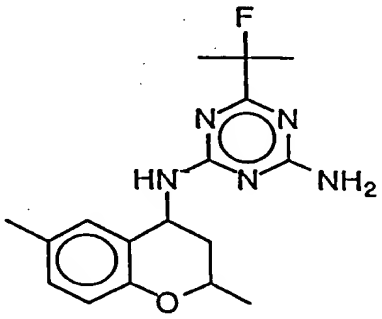
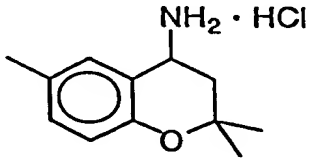
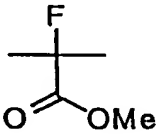
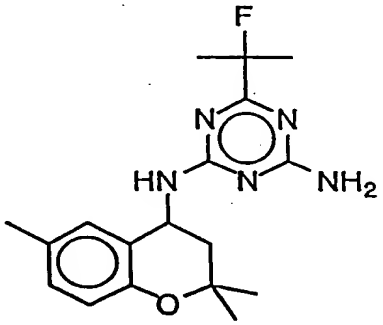
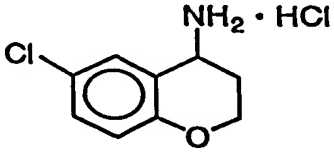
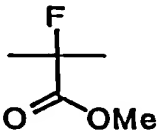
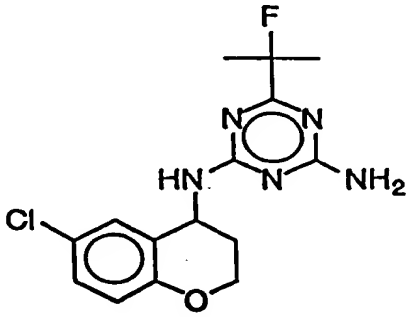
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
32				41
33				45
34				52
35				43

Ex. No.	derivative (II) as raw material	as raw material	derivative (I)	(%)
36				45
37				38
38				47

(Examples 39 - 75)

The same procedures as those in Example 32 were repeated except that the 6-fluoro-4-chromanylamine hydrochloride used in Example 32 was replaced with 4-chromanylamine hydrochlorides shown in Tables 17 to 25. Tables 17 to 25 show the structures and the yields of obtained products, and Tables 33 to 37 show IR and NMR data of the obtained products.

Table 17

Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
39				65
40				63
41				61
42				55

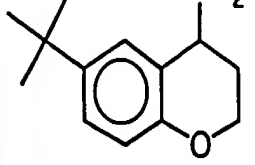
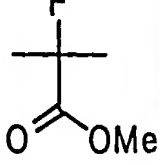
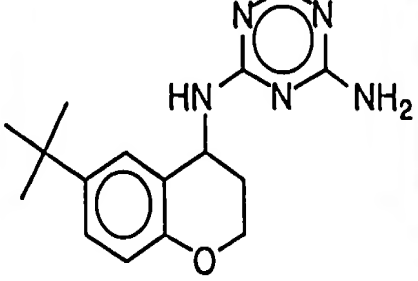
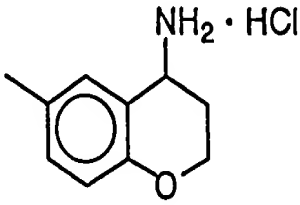
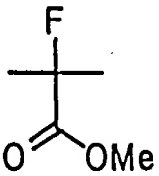
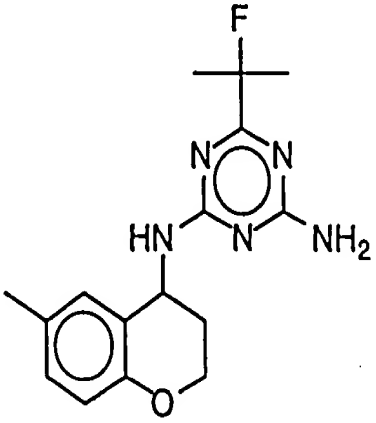
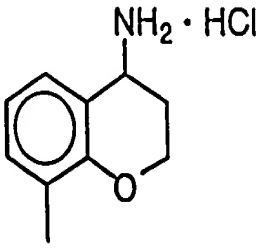
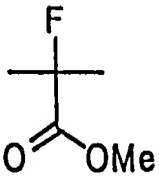
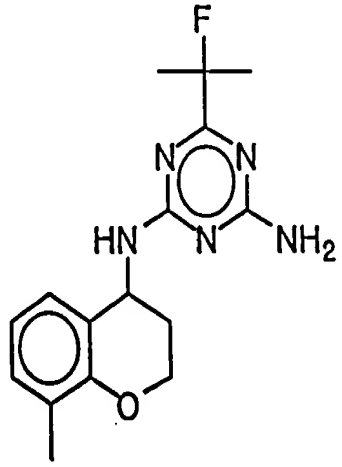
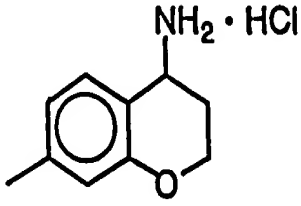
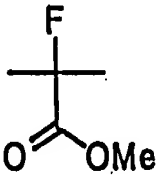
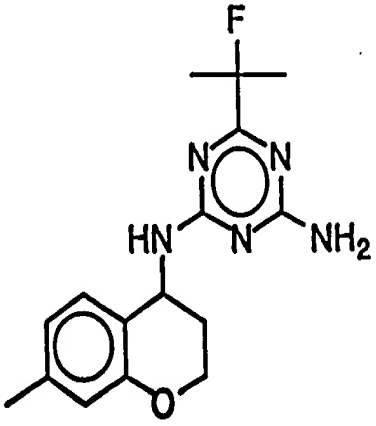
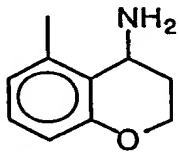
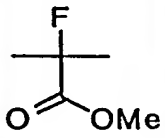
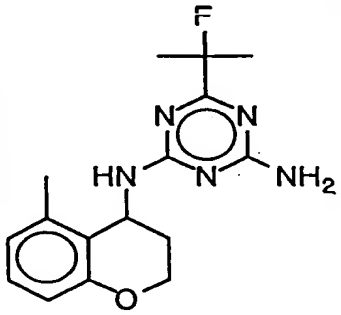
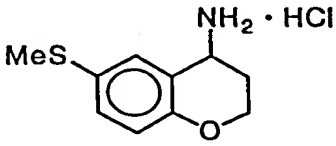
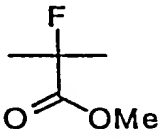
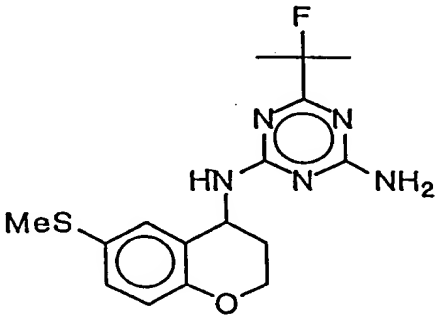
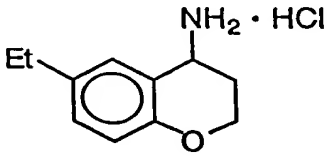
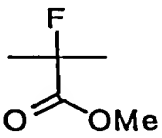
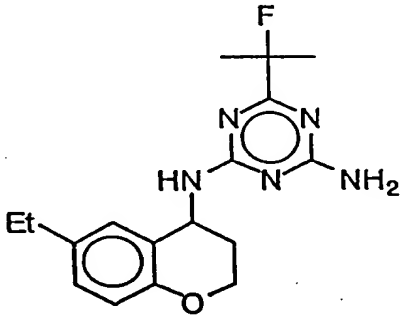
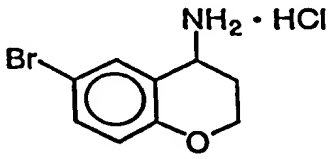
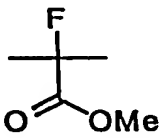
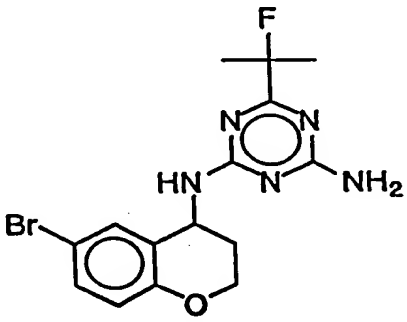
43				58
44				61
45				63
46				61

Table 19

Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
47				51
48				56
49				63
50				51

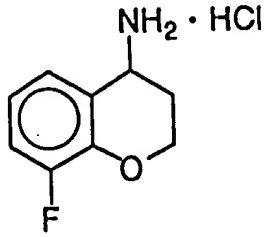
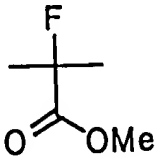
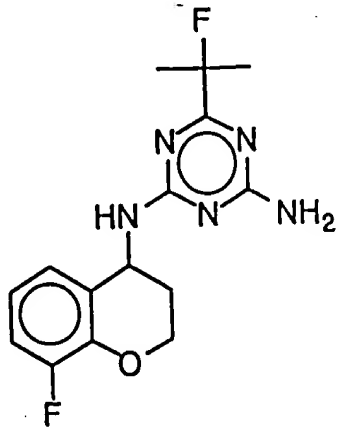
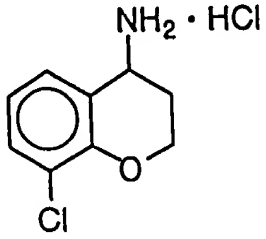
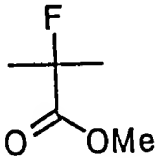
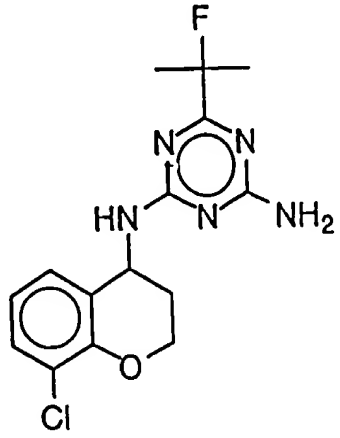
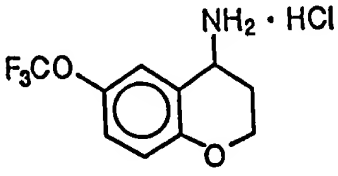
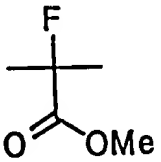
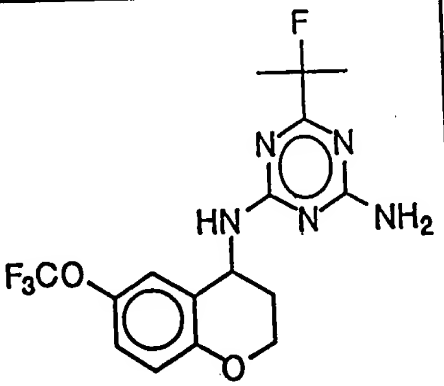
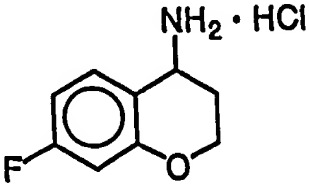
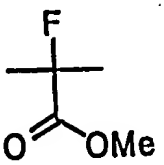
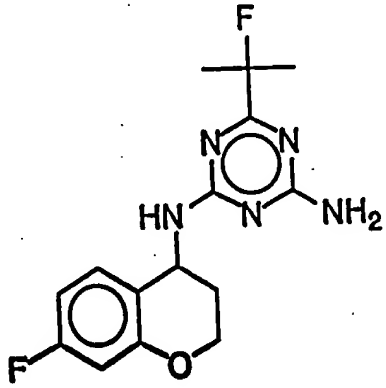
Ex. No.	Salt of derivative (II) as raw material	Ester as raw material	Objective derivative (I)	Yield (%)
51				62
52				57
53				52
54				54

Table 21

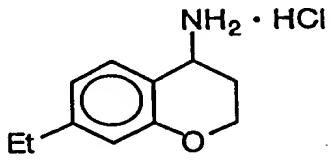
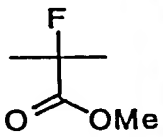
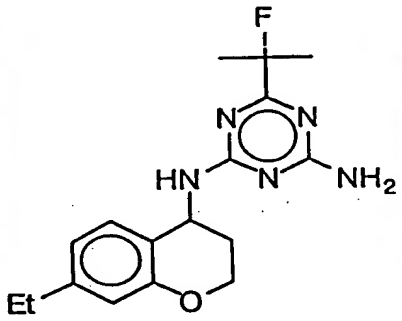
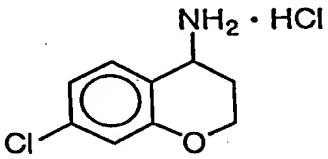
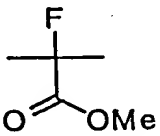
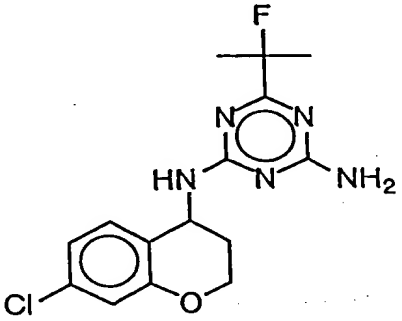
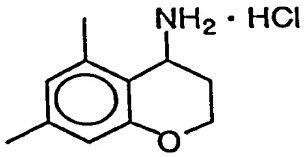
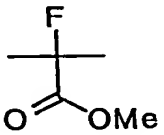
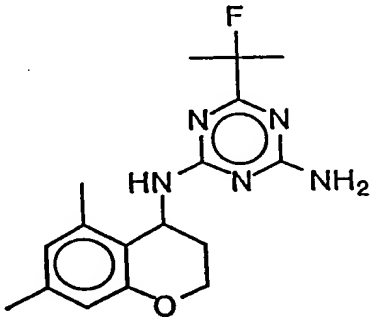
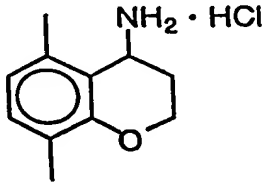
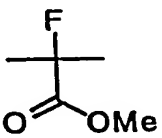
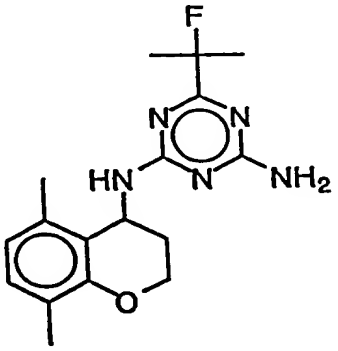
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
55				63
56				51
57				61
58				58

Table 22

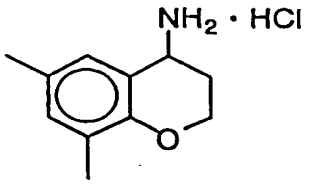
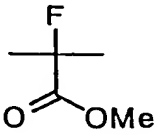
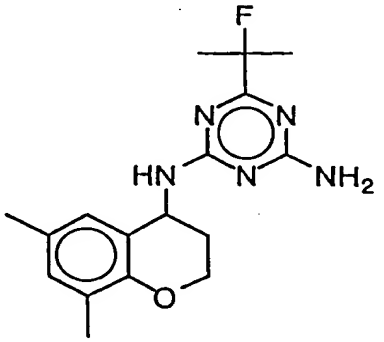
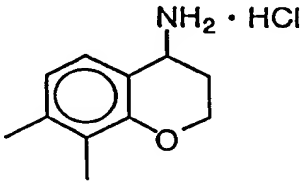
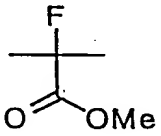
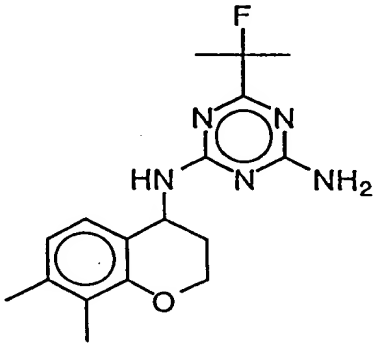
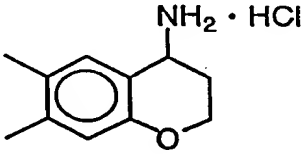
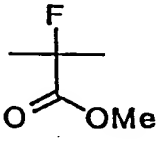
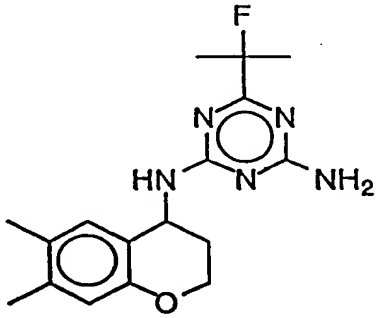
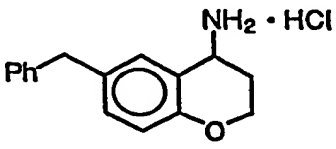
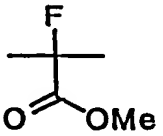
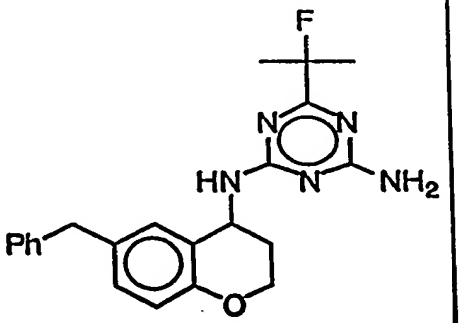
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
59				61
60				60
61				57
62				60

Table 23

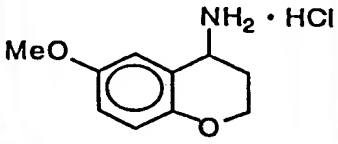
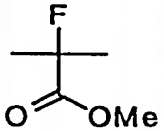
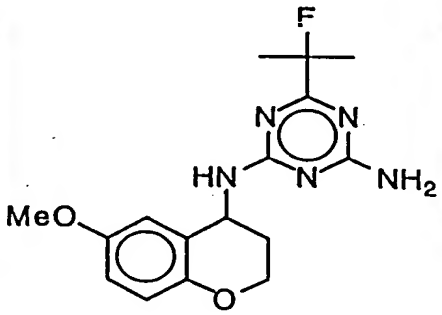
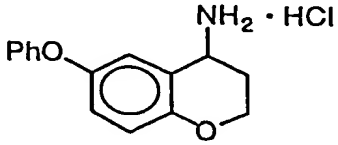
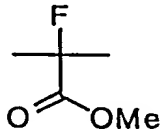
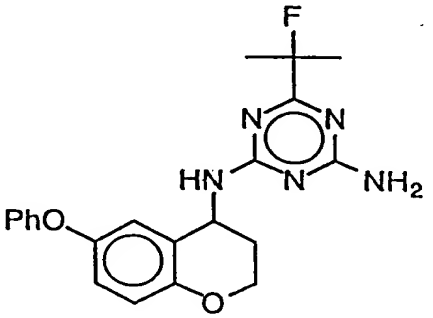
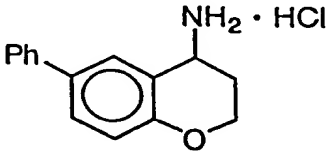
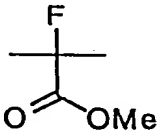
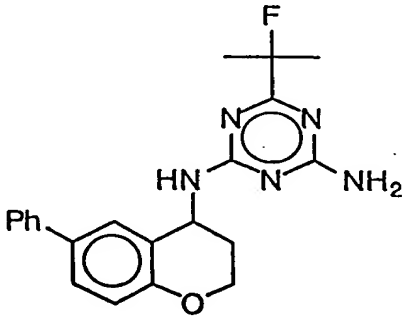
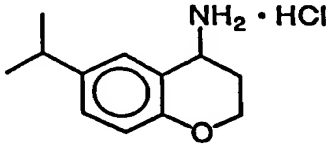
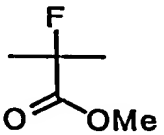
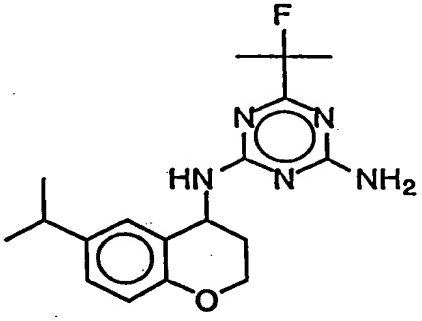
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
63				59
64				53
65				56
66				49

Table 24

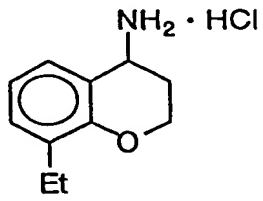
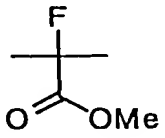
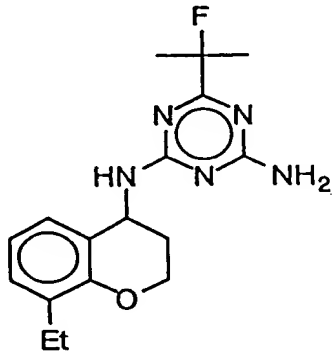
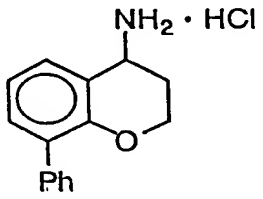
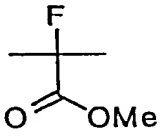
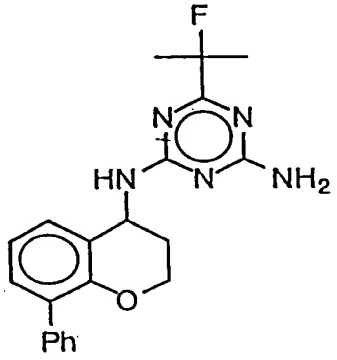
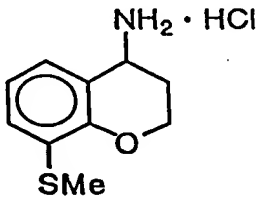
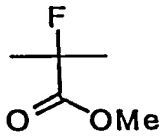
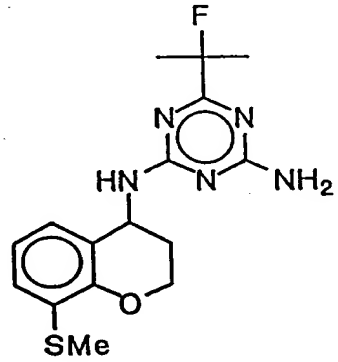
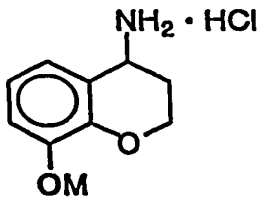
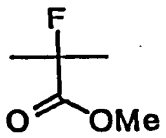
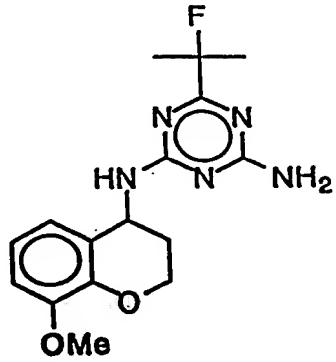
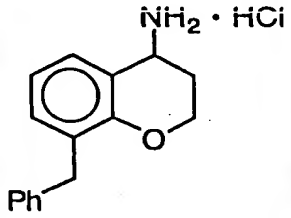
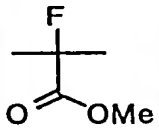
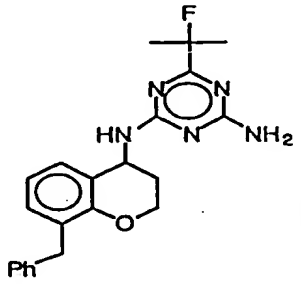
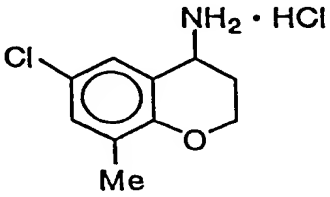
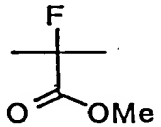
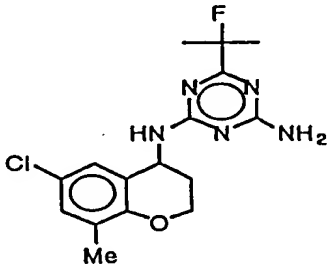
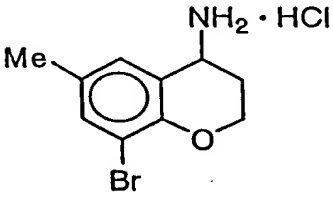
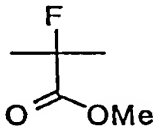
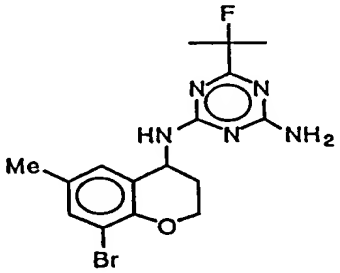
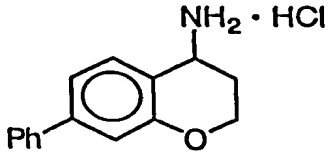
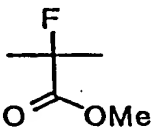
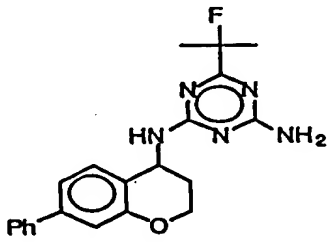
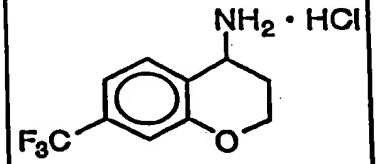
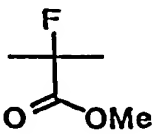
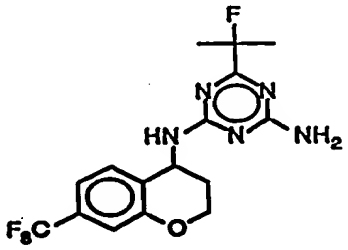
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
67				55
68				51
69				48
70				56

Table 25

Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
71				59
72				61
73				60
74				58
75				51

(Examples 76 - 97)

The same procedures as those in Example 32 were repeated except that the 6-fluoro-4-chromanylamine hydrochloride and the methyl α-fluoroisobutyrate used in Example 32 were replaced with 4-chromanylamine hydrochlorides and esters shown in Tables 26 to 31. Tables 26 to 31 show the structures and the yields of obtained products, and Tables 37 to 40 show IR and NMR data of the obtained products.

Table 26

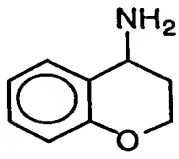
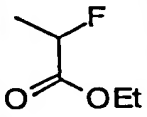
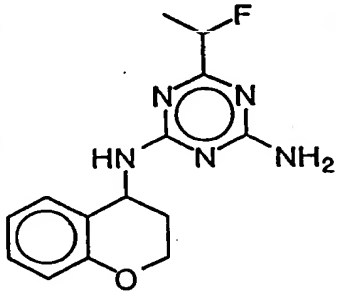
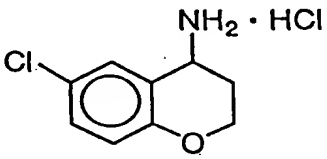
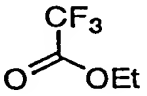
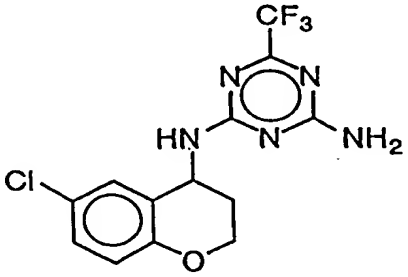
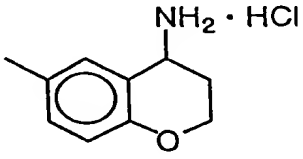
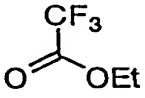
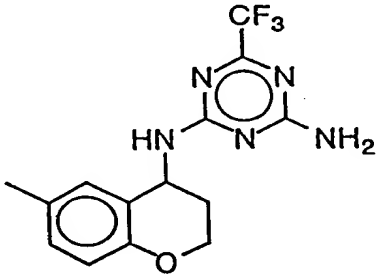
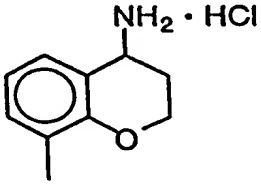
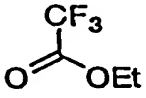
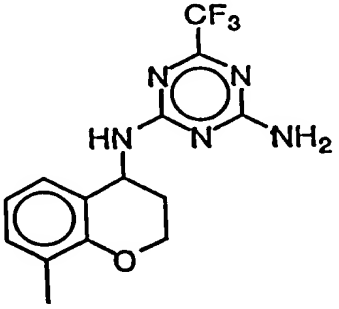
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
76				49
77				59
78				61
79				62

Table 27

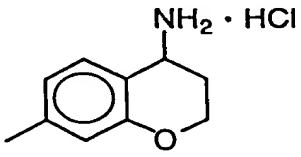
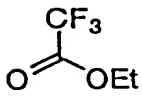
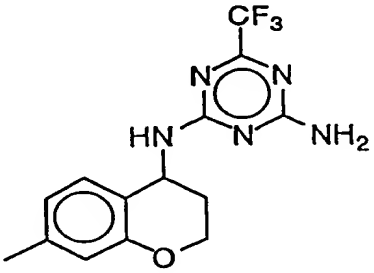
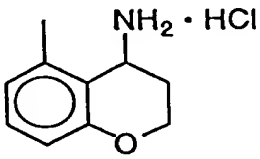
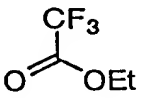
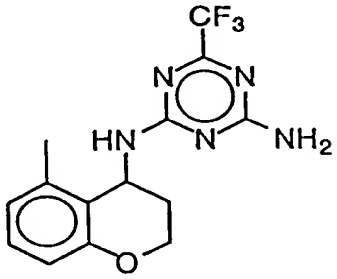
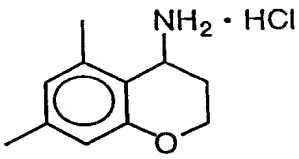
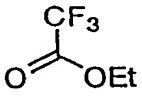
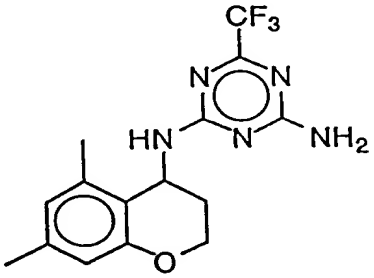
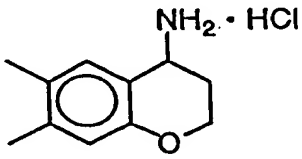
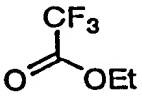
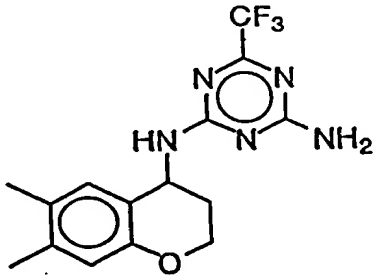
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
80				57
81				59
82				61
83				60

Table 28

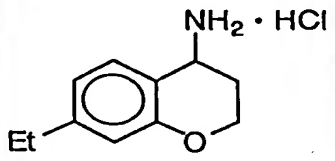
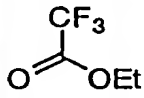
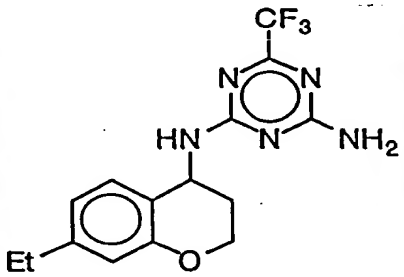
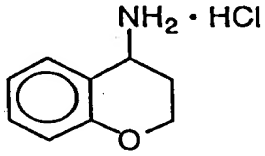
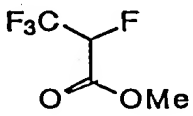
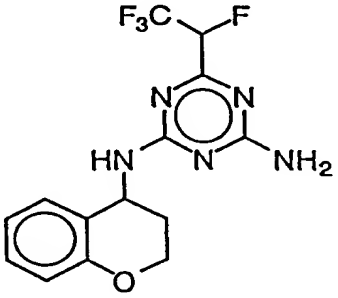
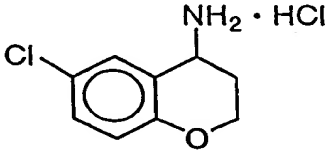
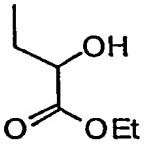
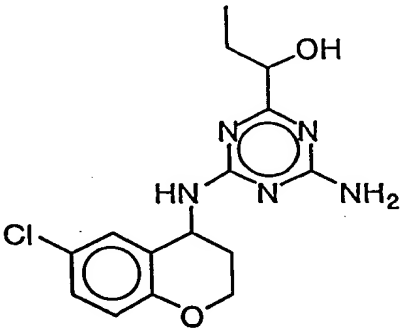
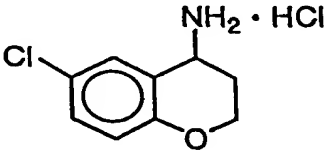
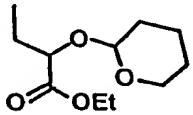
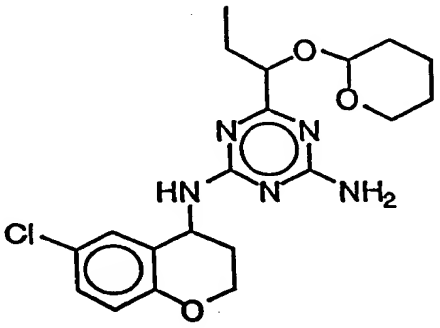
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
84				63
85				51
86				49
87				55

Table 29

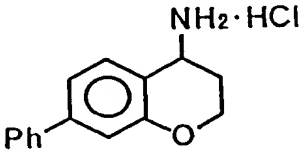
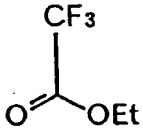
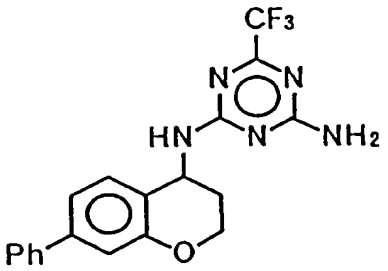
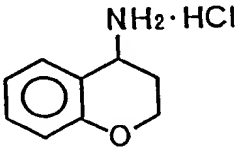
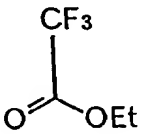
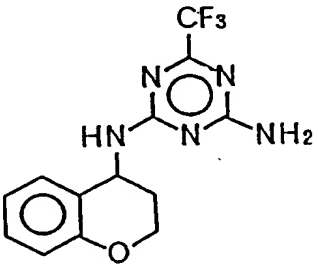
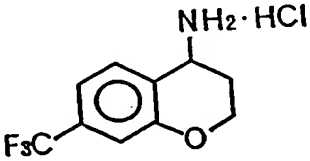
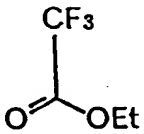
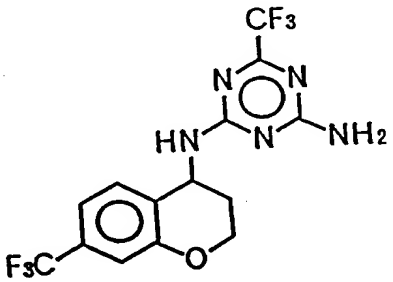
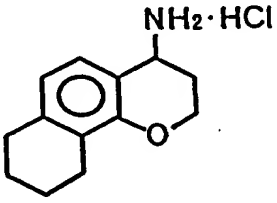
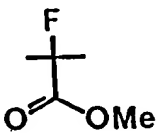
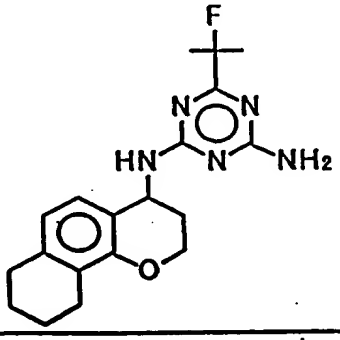
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
88				55
89				51
90				45
91				64

Table 30

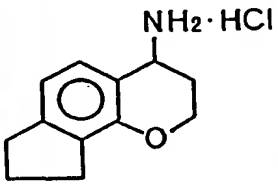
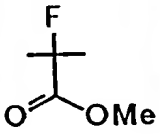
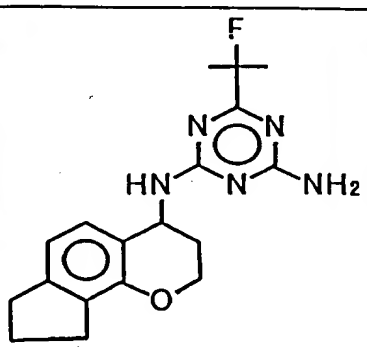
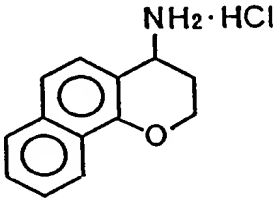
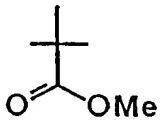
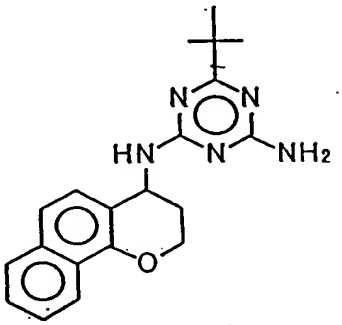
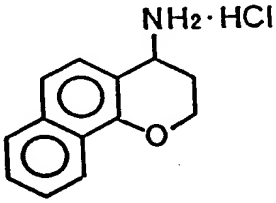
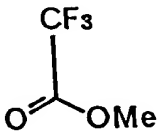
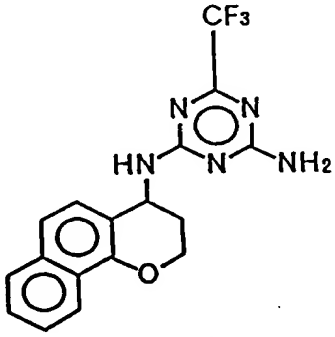
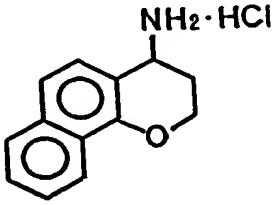
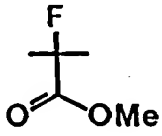
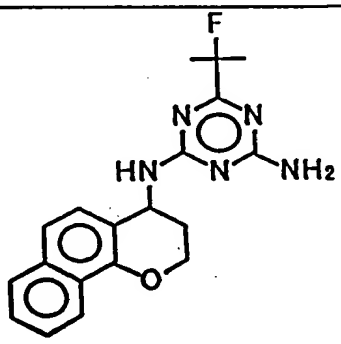
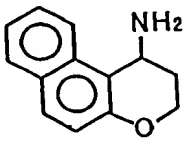
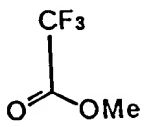
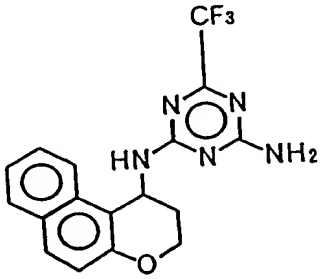
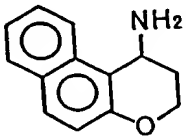
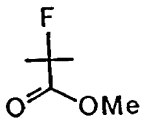
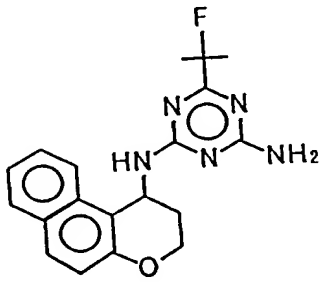
Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
92				62
93				65
94				62
95				60

Table 31

Ex. No.	Salt of chromanylamine derivative (II) as raw material	Ester (IV) as raw material	Obtained triazine derivative (I)	Yield (%)
96				42
97				56

(Example 98)

1 Gram (2.87 mmol) of the 2-amino-4-(α-fluoro-α-methylethyl)-6-(6-methylthio-4-chromanyl)amino-s-triazine obtained in Example 48 was dissolved in 15 ml of ethyl acetate, and to this mixture was added 1.1 g (6.38 mmol) of m-chloroperbenzoic acid at room temperature. The reaction mixture was stirred at room temperature for 12 hours and then washed with 10 ml of a 5 wt% sodium sulfite aqueous solution, and an ethyl acetate layer was washed with 10 ml of water twice. The ethyl acetate layer was dried over anhydrous sodium sulfate, and then the ethyl acetate was distilled off under reduced pressure. The resultant residue was purified by silica gel column chromatography (developer solvent: n-hexane/ethyl acetate = 1/1 (volume ratio)) to give 0.89 g (yield 82 %) of 2-amino-4-(α-fluoro-α-methylethyl)-6-(6-methanesulfonyl-4-chromanyl)amino-s-triazine as an end product. Table 32 shows the structure and the yield of the obtained product,

and Table 40 shows the IR and NMR data of the product.

(Example 99)

2-Amino-4-(α-fluoro-α-methylethyl)-6-(8-methanesulfonyl-4-chromanyl)amino-s-triazine was obtained in the same manner as in Example 98 except that the 2-amino-4-(α-fluoro-α-methylethyl)-6-(6-methylthio-4-chromanyl)amino-s-triazine used in Example 98 was replaced with 2-amino-4-(α-fluoro-α-methylethyl)-6-(8-methylthio-4-chromanyl)amino-s-triazine. Table 32 shows the structure and the yield of the obtained product, and Table 40 shows the IR and NMR data of the product.

(Example 100)

0.9 Gram (10.0 mmol) of cuprous cyanide was added to a solution of 3.2 g (8.4 mmol) of the 2-amino-4-(6-bromo-4-chromanyl)amino-6-(α-fluoro-α-methylethyl)-s-triazine obtained in Example 50 in 3 ml of DMF, and the mixture was refluxed under heat for 4 hours. To the reaction mixture was added a saturated ammonium choride aqueous solution, the mixture was filtered, and a solid substance was washed with ethyl acetate. A filtrate and a wash liquid were combined, an organic layer was extracted with ethyl acetate, and an extract was dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. The resultant residue was purified by silica gel column chromatography [silica gel: 150 g, developer solvent: n-hexane/ethyl acetate = 1/1 (volume ratio)] to give 2.4 g (yield 87 %) of 2-amino-4-(6-cyano-4-chromanyl)amino-6-(α-fluoro-α-methylethyl)-s-triazine as an end product. Table 32 shows the structure and the yield of the obtained product, and Table 40 shows the IR and NMR data of the product.

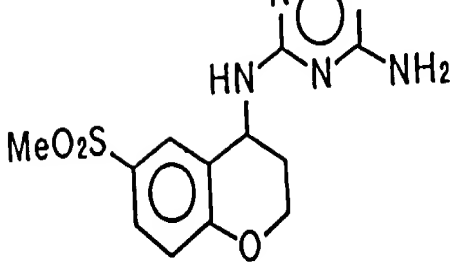
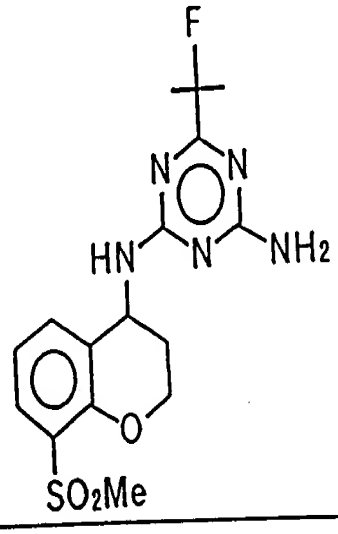
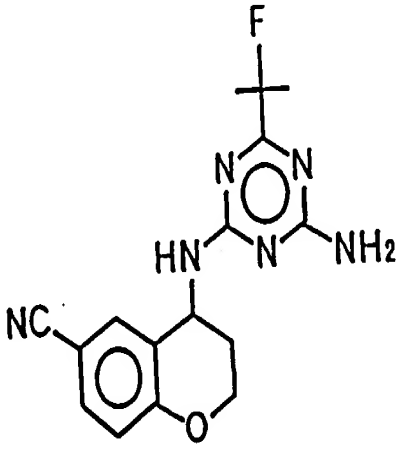
98		82
99		86
100		87

Table 33 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
32	1555	1.65(6H, d, J=21.9Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.00-2.30(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 5.10-5.40(1H, m, $\underline{CH}$-N), 5.40-6.00(3H, m, $\underline{NH}$, $\underline{NH_2}$), 6.70-7.10(3H, m, Ar)
33	1570	1.59(3H, dd, J=24.6, 6.7Hz, $\underline{CH_3}$), 2.00-2.30(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 4.70-6.60(5H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$, $\underline{CH}$F), 6.70-7.10(3H, m, Ar)
34	1580	2.05-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 5.00-6.30(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.70-7.10(3H, m, Ar)
35	1580	2.00-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 4.90-5.80(5H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$, $\underline{CH}$F), 6.70-7.10(3H, m, Ar)
36	1570	0.85-1.15(3H, m, $\underline{CH_3}$), 1.35-2.40(10H, m, $OCH_2\underline{CH_2}$, $\underline{CH_2}$-CH_3, THP), 3.20-4.40(5H, m, $O\underline{CH_2}$, $O\underline{CH}$, THP), 4.60-5.80(5H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$, THP), 6.70-7.10(3H, m, Ar)
37	1570	0.98(3H, t, J=7.5Hz, $\underline{CH_3}$), 1.67(1H, s, $O\underline{H}$), 1.80-2.30(4H, m, $OCH_2\underline{CH_2}$, $\underline{CH_2}$-CH_3), 4.15-4.45(3H, m, $O\underline{CH_2}$, $\underline{CH}$-OH), 5.00-5.60(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.70-7.10(3H, m, Ar)
38	1570	1.26(9H, s, t-Bu), 2.05-2.25(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 5.00-5.70(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.70-7.10(3H, m, Ar)
39	1535	1.57(6H, d, J=21.3Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 1.95-2.30(2H, m, $OCH_2\underline{CH_2}$), 4.15-4.45(2H, m, $O\underline{CH_2}$), 5.20-5.55(1H, m, $\underline{CH}$-N), 6.10-6.55(3H, m, $\underline{NH}$, $\underline{NH_2}$), 6.60-7.35(4H, m, Ar)
40	1560	1.41(3H, d, J=7.7Hz, OCH-$\underline{CH_3}$), 1.61(6H, d, J=22.0Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$) 2.00-2.50(2H, m, OCH$\underline{CH_2}$), 2.23(3H, s, Ar-$\underline{CH_3}$), 4.00-4.50(1H, m, $O\underline{CH}$), 4.90-5.25(1H, m, $\underline{CH}$-N), 5.20-5.75(3H, m, $\underline{NH}$, $\underline{NH_2}$), 6.60-7.15(3H, m, Ar)
41	1540	1.33(3H, s, $OC\underline{CH_3}$), 1.42(3H, s, $OC\underline{CH_3}$), 1.60(6H, d, J=22.0Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.19(3H, s, Ar-$\underline{CH_3}$), 2.10-2.40(2H, m, $OC\underline{CH_2}$), 5.20-5.55(1H, m, $\underline{CH}$-N), 6.10-6.50(3H, m, $\underline{NH}$, $\underline{NH_2}$), 6.50-7.20(3H, m, Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilan (TMS)

43	1580	1.25(9H, s, t-Bu), 1.61(6H, d, J=21.9Hz, CH_3-CF-CH_3), 2.00-2.30(2H, m, OCH_2CH_2), 4.05-4.30(2H, m, OCH_2), 4.95-6.55(4H, m, CH-NH, NH_2), 6.75(1H, d, J=9.5Hz, Ar), 7.10-7.30(2H, m, Ar)
44	1570	1.64(6H, d, J=21.9Hz, CH_3-CF-CH_3), 1.90-2.30(2H, m, OCH_2CH_2), 2.23(3H, s, Ar-CH_3), 4.10-4.30(2H, m, OCH_2), 4.95-6.15(4H, m, CH-NH, NH_2), 6.71(1H, d, J=8.1Hz, Ar), 6.98(1H, d, J=8.1Hz, Ar), 7.03(1H, s, Ar)
45	1580	1.64(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.05-2.30(2H, m, OCH_2CH_2), 2.18(3H, s, Ar-CH_3), 4.15-4.40(2H, m, OCH_2), 5.00-5.80(4H, m, CH-NH, NH_2), 6.77(1H, dd, J=7.6, 7.3Hz, Ar), 7.05(1H, d, J=7.6Hz, Ar), 7.09(1H, d, J=7.3Hz, Ar)
46	1580	1.64(6H, d, J=21.9Hz, CH_3-CF-CH_3), 2.05-2.35(2H, m, OCH_2CH_2), 2.28(3H, s, Ar-CH_3), 4.10-4.30(2H, m, OCH_2), 5.00-5.90(4H, m, CH-NH, NH_2), 6.65(1H, s, Ar), 6.70(1H, d, J=7.7Hz, Ar), 7.13(1H, d, J=7.7Hz, Ar)
47 *3	1570	1.55(6H, d, J=21.4Hz, CH_3-CF-CH_3), 1.95-2.20(2H, m, OCH_2CH_2), 2.18(3H, s, Ar-CH_3), 4.15-4.30(2H, m, OCH_2), 5.00-5.40(1H, m, CH-NH), 5.90-6.80(3H, m, CH-NH, NH_2), 6.55-6.80(2H, m, Ar), 7.02(1H, d, J=7.0Hz, Ar)
48	1570	1.65(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.00-2.30(2H, m, OCH_2CH_2), 2.41(3H, s, SCH_2), 4.10-4.35(2H, m, OCH_2), 5.00-6.10(4H, m, CH-NH, NH_2), 6.77(1H, d, J=8.6Hz, Ar), 7.17(1H, dd, J=8.6, 2.3Hz, Ar), 7.23(1H, d, J=2.3Hz, Ar)
49	1580	1.18(3H, t, J=7.5Hz, CH_2-CH_3), 1.65(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.00-2.35(2H, m, OCH_2CH_2), 2.54(2H, q, J=7.5Hz, CH_2-CH_3), 4.05-4.35(2H, m, OCH_2), 5.00-6.00(4H, m, CH-NH, NH_2), 6.74(1H, d, J=7.5Hz, Ar), 7.02(1H, dd, J=7.5, 2.1Hz, Ar), 7.06(1H, d, J=2.1Hz, Ar)
50	1570	1.65(6H, d, J=21.9Hz, CH_3-CF-CH_3), 2.00-2.30(2H, m, OCH_2CH_2), 4.10-4.30(2H, m, OCH_2), 5.05-6.00(4H, m, CH-NH, NH_2), 6.70(1H, d, J=8.8Hz, Ar), 7.26(1H, dd, J=8.8, 2.4Hz, Ar), 7.39(1H, d, J=2.4Hz, Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deut ro chloroform, Internal standard: T tramethylsilane (TMS)

*3 Solvent: Deutero aceton , Internal standard: T tramethylsilane (TMS)

Table 35 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
51	1580	1.64(6H, d, J=21.9Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.10-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.20-4.40(2H, m, $O\underline{CH_2}$), 5.10-6.20(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.70-7.10(3H, m, Ar),
52	1580	1.64(6H, d, J=21.9Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.10-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.25-4.50(2H, m, $O\underline{CH_2}$), 5.10-6.00(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.81(1H, dd, J=7.7, 7.1Hz, Ar), 7.19(1H, d, J=7.1Hz, Ar), 7.27(1H, d, J=7.7Hz, Ar)
53	1570	1.64(6H, d, J=21.9Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.00-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.15-4.35(2H, m, $O\underline{CH_2}$), 5.05-6.05(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.81(1H, d, J=8.8Hz, Ar), 7.06(1H, d, J=8.8Hz, Ar), 7.14(1H, s, Ar)
54	1580	1.64(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.05-2.30(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 5.00-6.20(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.45-6.70(2H, m, Ar), 7.10-7.30(1H, m, Ar)
55	1560	1.20(3H, t, J=7.6Hz, CH_2-$\underline{CH_3}$), 1.67(6H, d, J=21.3Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.05-2.35(2H, m, $OCH_2\underline{CH_2}$), 2.59(2H, q, J=7.6Hz, $\underline{CH_2}$-CH_3), 4.00-4.45(2H, m, $O\underline{CH_2}$), 5.00-5.40(1H, m, $\underline{CH}$-NH), 5.30-5.60(3H, m, $\underline{NH}$, $\underline{NH_2}$), 6.68(1H, s, Ar), 6.72(1H, d, J=7.3Hz, Ar), 7.17(1H, d, J=7.3Hz, Ar)
56	1560	1.64(6H, d, J=21.9Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.00-2.30(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.35(2H, m, $O\underline{CH_2}$), 5.00-6.30(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.80-7.30(3H, m, Ar),
57	1560	1.62(6H, d, J=21.7Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.17(3H, s, Ar-$\underline{CH_3}$), 2.23(3H, s, Ar-$\underline{CH_3}$), 2.00-2.40(2H, m, $OCH_2\underline{CH_2}$), 4.00-4.35(2H, m, $O\underline{CH_2}$), 4.90-5.30(1H, m, $\underline{CH}$-NH), 5.80-6.30(3H, m, $\underline{NH}$, $\underline{NH_2}$), 6.50(1H, s, Ar), 6.69(1H, s, Ar)
58	1580	1.62(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$) 1.90-2.30(2H, m, $OCH_2\underline{CH_2}$), 2.16(3H, s, Ar-$\underline{CH_3}$), 2.19(3H, s, Ar-$\underline{CH_3}$), 3.85-4.50(2H, m, $O\underline{CH_2}$), 4.95-6.10(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.65(1H, d, J=7.7Hz, Ar), 6.98(1H, d, J=7.7Hz, Ar)
59	1570	1.65(6H, d, J=21.9Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$) 2.05-2.30(2H, m, $OCH_2\underline{CH_2}$), 2.16(3H, s, Ar-$\underline{CH_3}$), 2.21(3H, s, Ar-$\underline{CH_3}$), 4.10-4.35(2H, m, $O\underline{CH_2}$), 5.00-5.80(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.88(2H, s, Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilane (TMS)

Table 36 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
60	1580	1.63(6H, d, J=22.1Hz, CH_3-CF-CH_3), 1.95-2.30(2H, m, OCH_2CH_2), 2.11(3H, s, Ar-CH_3), 2.23(3H, s, Ar-CH_3), 4.15-4.35(2H, m, OCH_2), 5.00-6.30(4H, m, CH-NH, NH_2), 6.69(1H, d, J=7.9Hz, Ar), 6.99(1H, d, J=7.9Hz, Ar)
61	1565	1.64(6H, d, J=21.7Hz, CH_3-CF-CH_3), 2.00-2.45(2H, m, OCH_2CH_2), 2.13(3H, s, Ar-CH_3), 2.19(3H, s, Ar-CH_3), 4.00-4.40(2H, m, OCH_2), 5.00-5.70(4H, m, CH-NH, NH_2), 6.62(1H, s, Ar), 7.00(1H, s, Ar)
62	1570	1.63(6H, d, J=21.9Hz, CH_3-CF-CH_3), 1.90-2.30(2H, m, OCH_2CH_2), 3.86(2H, s, CH_2-Ph), 4.05-4.30(2H, m, OCH_2), 5.00-6.20(4H, m, CH-NH, NH_2), 6.73(1H, d, J=8.2Hz, Ar), 6.90-7.30(7H, m, Ar)
63	1580	1.65(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.00-2.35(2H, m, OCH_2CH_2), 3.73(3H, s, OCH_3), 4.10-4.30(2H, m, OCH_2), 5.00-6.00(4H, m, CH-NH, NH_2), 6.76(3H, s, Ar)
64	1560	1.60(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.00-2.30(2H, m, OCH_2CH_2), 4.10-4.30(2H, m, OCH_2), 5.00-6.40(4H, m, CH-NH, NH_2), 6.75-7.40(8H, m, Ar)
65	1560	1.64(6H, d, J=21.9Hz, CH_3-CF-CH_3), 2.10-2.35(2H, m, OCH_2CH_2), 4.15-4.35(2H, m, OCH_2), 5.10-6.00(4H, m, CH-NH, NH_2), 6.90(1H, d, J=8.6Hz, Ar), 7.25-7.60(7H, m, Ar)
66	1560	1.19(6H, d, J=6.8Hz, CH_3-CH-CH_3), 1.64(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.00-2.30(2H, m, OCH_2CH_2), 2.81(1H, sept, J=6.8Hz, CH_3-CH-CH_3), 4.10-4.30(2H, m, OCH_2), 5.00-6.20(4H, m, CH-NH, NH_2), 6.76(1H, d, J=9.1Hz, Ar), 7.04(1H, d, J=9.1Hz, Ar), 7.09(1H, s, Ar)
67	1580	1.18(3H, t, J=7.6Hz, CH_2-CH_3), 1.62(6H, d, J=21.9Hz, CH_3-CF-CH_3), 2.05-2.30(2H, m, OCH_2CH_2), 2.60(2H, q, J=7.6Hz, CH_2-CH_3), 4.15-4.35(2H, m, OCH_2), 5.00-6.40(4H, m, CH-NH, NH_2), 6.80(1H, dd, J=7.5, 7.3Hz, Ar), 6.95-7.20(2H, m, Ar)
68	1570	1.65(6H, d, J=22.1Hz, CH_3-CF-CH_3), 2.10-2.35(2H, m, OCH_2CH_2), 4.10-4.30(2H, m, OCH_2), 5.10-6.20(4H, m, CH-NH, NH_2), 6.94(1H, dd, J=8.0, 7.0Hz, Ar), 7.10-7.60(7H, m, Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilane (TMS)

Table 37 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
69	1580	1.64(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.10-2.35(2H, m, $OCH_2\underline{CH_2}$), 2.43(3H, s, $S\underline{CH_3}$), 4.20-4.50(2H, m, $O\underline{CH_2}$), 5.00-6.20(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.75-7.15(3H, m, Ar)
70	1580	1.64(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.05-2.35(2H, m, $OCH_2\underline{CH_2}$), 3.88(3H, s, $O\underline{CH_3}$), 4.20-4.45(2H, m, $O\underline{CH_2}$), 5.00-6.10(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.75-6.95(3H, m, Ar)
71	1570	1.63(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.05-2.30(2H, m, $OCH_2\underline{CH_2}$), 3.93(2H, s, $\underline{CH_2}$-Ph), 4.10-4.35(2H, m, $O\underline{CH_2}$), 5.00-6.10(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.77(1H, dd, J=7.6, 7.3Hz, Ar), 6.96(1H, dd, J=7.6, 2.3Hz, Ar), 7.11(1H, dd, J=7.3, 2.3Hz, Ar), 7.15-7.30(5H, m, Ar)
72	1580	1.65(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.00-2.25(2H, m, $OCH_2\underline{CH_2}$), 2.15(3H, s, Ar-$\underline{CH_3}$), 4.15-4.35(2H, m, $O\underline{CH_2}$), 5.00-6.00(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 7.01(1H, d, J=2.7Hz, Ar), 7.09(1H, d, J=2.7Hz, Ar)
73	1560	1.64(6H, d, J=22.1Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.05-2.45(2H, m, $OCH_2\underline{CH_2}$), 2.22(3H, s, Ar-$\underline{CH_3}$), 4.20-4.40(2H, m, $O\underline{CH_2}$), 5.00-6.20(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 7.02(1H, s, Ar), 7.25(1H, s, Ar)
74	1560	1.68(6H, d, J=21.7Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.10-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.15-4.40(2H, m, $O\underline{CH_2}$), 5.10-5.80(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 7.00-7.65(8H, m, Ar)
75	1570	1.65(6H, d, J=21.7Hz, $\underline{CH_3}$-CF-$\underline{CH_3}$), 2.00-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.15-4.45(2H, m, $O\underline{CH_2}$), 5.10-6.20(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.95-7.50(3H, m, Ar)
76	1580	1.60(3H, dd, J=23.9, 8.1Hz, $\underline{CH_3}$-CHF), 2.00-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.40(2H, m, $O\underline{CH_2}$), 4.80-5.90(5H, m, $\underline{CH}$F, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.70-7.40(4H, m, Ar)
77	1580	2.05-2.30(2H, m, $OCH_2\underline{CH_2}$), 4.15-4.35(2H, m, $O\underline{CH_2}$), 5.00-6.00(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.77(1H, d, J=8.4Hz, Ar), 7.15(1H, dd, J=8.4, 2.6Hz, Ar), 7.20(1H, d, J=2.6Hz, Ar)
78*4	1570	2.00-2.30(2H, m, $OCH_2\underline{CH_2}$), 2.24(3H, s, $\underline{CH_3}$-Ar), 4.10-4.30(2H, m, $O\underline{CH_2}$), 4.95-5.40(1H, m, $\underline{CH}$-NH), 6.72(1H, d, J=9.1Hz, Ar), 7.01(1H, d, J=9.1Hz, Ar), 7.03(1H, s, Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilane (TMS)

*4 Solvent: Deutero chloroform + deutero methanol,
Internal standard: Tetramethylsilane (TMS)

Table 38 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
79*4	1580	2.00-2.30(2H, m, $OCH_2\underline{CH_2}$), 2.18(3H, s, Ar-$\underline{CH_3}$), 4.15-4.40(2H, m, $O\underline{CH_2}$), 5.10-5.35(1H, m, $\underline{CH}$-NH), 6.79(1H, dd, J=8.2, 7.6Hz, Ar), 7.06(1H, d, J=7.6Hz, Ar), 7.06(1H, d, J=8.2Hz, Ar),
80*4	1580	2.05-2.35(2H, m, $OCH_2\underline{CH_2}$), 2.28(3H, s, Ar-$\underline{CH_3}$), 4.10-4.30(2H, m, $O\underline{CH_2}$), 5.05-5.35(1H, m, $\underline{CH}$-NH), 6.66(1H, s, Ar), 6.71(1H, d, J=7.6Hz, Ar), 7.10(1H, d, J=7.6Hz, Ar)
81*3	1570	1.95-2.25(2H, m, $OCH_2\underline{CH_2}$), 2.19(3H, s, Ar-$\underline{CH_3}$), 4.15-4.35(2H, m, $O\underline{CH_2}$), 5.05-5.35(1H, m, $\underline{CH}$-NH), 6.55-6.80(2H, m, Ar), 6.70-7.50(3H, m, $\underline{NH}$, $\underline{NH_2}$), 7.04(1H, d, J=7.7Hz, Ar)
82	1550	2.18(3H, s, Ar-$\underline{CH_3}$), 2.27(3H, s, Ar-$\underline{CH_3}$), 2.00-2.35(2H, m, $OCH_2\underline{CH_2}$), 4.05-4.35(2H, m, $O\underline{CH_2}$), 5.00-5.30(1H, m, $\underline{CH}$-NH), 6.51(1H, s, Ar), 6.58(1H, s, Ar)
83	1560	1.90-2.60(2H, m, $OCH_2\underline{CH_2}$), 2.23(3H, s, Ar-$\underline{CH_3}$), 2.25(3H, s, Ar-$\underline{CH_3}$), 3.90-4.45(2H, m, $O\underline{CH_2}$), 4.95-5.25(1H, m, $\underline{CH}$-NH), 6.70(1H, s, Ar), 6.95(1H, s, Ar)
84	1560	1.20(3H, t, J=7.6Hz, CH_2-$\underline{CH_3}$), 2.00-2.40(2H, m, $OCH_2\underline{CH_2}$), 2.57(2H, q, J=7.6Hz, $\underline{CH_2}$-CH_3), 3.95-4.45(2H, m, $O\underline{CH_2}$), 5.00-6.20(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.67(1H, s, Ar), 6.72(1H, d, J=7.3Hz, Ar), 7.10(1H, d, J=7.3Hz, Ar)
85	1580	2.10-2.40(2H, m, $OCH_2\underline{CH_2}$), 4.10-4.35(2H, m, $O\underline{CH_2}$), 4.90-5.90(5H, m, $\underline{CH}$F, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.70-7.35(4H, m, Ar)
86	1580	0.93(3H, t, J=7.3Hz, $\underline{CH_3}$), 1.40-2.30(5H, m, $\underline{OH}$, $OCH_2\underline{CH_2}$, $\underline{CH_2}$-CH_3), 4.10-4.30(3H, m, $O\underline{CH_2}$, $\underline{CH}$-OH), 4.90-6.00(4H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$), 6.72(1H, d, J=8.6Hz, Ar), 7.09(1H, dd, J=8.6, 2.4Hz, Ar), 7.18(1H, d, J=2.4Hz, Ar)
87	1570	0.85-1.15(3H, m, $\underline{CH_3}$), 1.40-2.30(10H, m, $OCH_2\underline{CH_2}$, $\underline{CH_2}$-CH_3, THP), 3.20-4.40(5H, m, $O\underline{CH_2}$, $O\underline{CH}$, THP), 4.60-5.90(5H, m, $\underline{CH}$-$\underline{NH}$, $\underline{NH_2}$, THP), 6.72(1H, d, J=8.6Hz, Ar), 7.10(1H, dd, J=8.6, 2.4Hz, Ar), 7.22(1H, d, J=2.4Hz, Ar)

*1 Potassium bromid tablet method

*2 Solvent: Deutero chloroform, Int rnal standard: Tetramethylsilane (TMS)

*3 Solvent: Deutero ac tone, Internal standard: Tetramethylsilan (TMS)

*4 Solvent: Deutero chloroform + deutero methanol,
Internal standard: Tetramethylsilane (TMS)

Table 39 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
88	1570	2.10-2.40(2H,m,$OCH_2\underline{CH_2}$),4.10-4.40(2H,m,$O\underline{CH_2}$), 5.10-5.45(1H,m,$\underline{CH}$-NH),5.50-6.00(3H,m,CH-$\underline{NH}$,$\underline{NH_2}$) 7.00-7.60(8H,m,Ar)
89	1570	1.95-2.30(2H,m,$OCH_2\underline{CH_2}$),4.15-4.40(2H,m,$O\underline{CH_2}$), 5.10-5.50(1H,m,$\underline{CH}$-NH), 6.60-7.40(4H,m,Ar)
90	1570	2.20-2.45(2H,m,$OCH_2\underline{CH_2}$),4.15-4.50(2H,m,$O\underline{CH_2}$), 5.10-6.00(4H,m,$\underline{CH}$-$\underline{NH}$,$\underline{NH_2}$) 7.00-7.50(3H,m,Ar)
91	1570	1.63(6H,d,J=22.14Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$), 1.65-1.90(4H,m,-CH_2-CH_2-$\underline{CH_2}$-CH_2-) 2.05-2.25(2H,m,-O-CH_2-$\underline{CH_2}$-) 2.50-2.80(4H,m,-$\underline{CH_2}$-CH_2-CH_2-$\underline{CH_2}$-) 4.15-4.35(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.00-6.00(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 6.63(1H,d,J=7.83Hz,Ar) 7.00(1H,d,J=7.83Hz,Ar)
92	1570	1.63(6H,d,J=22.14Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$), 1.95-2.30(4H,m,-O-CH_2-$\underline{CH_2}$-,-CH_2-$\underline{CH_2}$-CH_2-) 2.70-3.00(4H,m,-$\underline{CH_2}$-CH_2-$\underline{CH_2}$-) 4.15-4.35(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.00-6.00(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 6.77(1H,d,J=7.83Hz,Ar) 7.05(1H,d,J=7.83Hz,Ar)
93	1550	1.28(9H,s,t-Bu) 2.15-2.40(2H,m,-O-CH_2-$\underline{CH_2}$-) 4.25-4.55(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.00-5.60(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 7.25-7.55(4H,m,Ar) 7.65-7.80(1H,m,Ar) 8.10-8.30(1H,m,Ar)
94	1590	2.10-2.40(2H,m,-O-CH_2-$\underline{CH_2}$-) 4.20-4.65(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.20-6.00(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 7.25-7.35(2H,m,Ar) 7.40-7.60(2H,m,Ar) 7.70-7.85(1H,m,Ar) 8.10-8.30(1H,m,Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilan (TMS)

Table 40 IR•NMR data

Example No.	IR(cm^{-1})*1 s-triazine	^1H-NMR*2
95	1570	1.65(6H,d,J=22.05Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$), 2.15-2.35(2H,m,-O-CH_2-$\underline{CH_2}$-) 4.20-4.60(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.15-6.00(4H,m,-$\underline{NH}$-CH-,-$\underline{NH_2}$) 7.32(2H,s-Ar) 7.41-7.59(2H,m,Ar) 7.65-7.85(1H,m,Ar) 8.10-8.25(1H,m,Ar)
96*3	1565	2.10-2.50(2H,m,-O-CH_2-$\underline{CH_2}$) 4.25-4.65(2H,m,-O-CH_2-$\underline{CH_2}$) 5.40-6.00(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 6.90-7.20(1H,m,Ar) 7.25-7.65(2H,m,Ar) 7.65-8.00(3H,m,Ar)
97*3	1540	1.63(6H,d,J=22.08Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$), 2.05-2.45(2H,m,-O-CH_2-$\underline{CH_2}$-) 4.25-4.60(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.50-6.60(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 6.80-7.20(1H,m,Ar) 7.25-7.60(2H,m,Ar) 7.60-8.05(3H,m,Ar)
98*4	1560	1.65(6H,d,J=21.9Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$),2.10-2.40(2H,m,O$CH_2\underline{CH_2}$), 3.05(3H,s,SO_2-$\underline{CH_2}$),4.25-4.45(2H,m,O$\underline{CH_2}$), 5.20-5.40(1H,m,-$\underline{CH}$-NH),7.00(1H,d,J=8,6Hz,Ar), 7.72(1H,dd,J=8.6 2.2Hz,Ar),7.90(1H,d,J=2,2Hz,Ar)
99	1560	1.65(6H,d,J=21.9Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$),2.15-2.40(2H,m,O$CH_2\underline{CH_2}$), 9.22(9H,s,SO_2-$\underline{CH_2}$),4.30-4.55(2H,m,O$\underline{CH_2}$), 5.15-6.00(4H,m,-$\underline{CH}$-$\underline{NH}$,-$\underline{NH_2}$),7.04(1H,dd,J=7.7,7.7Hz,Ar), 7.57(1H,dd,J=7.7, 1.8Hz,Ar),7.90(1H,dd,J=7.7, 1.8Hz,Ar)
100	1570	1.65(6H,d,J=22.14.Hz,$\underline{CH_3}$-CF-$\underline{CH_3}$), 2.10-2.30(2H,m,-O-CH_2-$\underline{CH_2}$-) 4.25-4.40(2H,m,-O-$\underline{CH_2}$-CH_2-) 5.20-6.10(4H,m,-$\underline{NH}$-$\underline{CH}$-,-$\underline{NH_2}$) 6.87(1H,d,J=8.37Hz,Ar) 7.45(1H,dd,J=8.37,1.98Hz,Ar) 7.61(1H,d,J=1.98Hz,Ar)

*1 Potassium bromide tablet method

*2 Solvent: Deutero chloroform, Internal standard: Tetramethylsilane (TMS)

*3 Solvent: Deutero acetone, Internal standard: Tetramethylsilane (TMS)

*4 Solv nt: Deutero chloroform + deutero methanol
Internal standard: Tetramethylsilane (TMS)

[Herbicide Example]

(1) Preparation of herbicides

97 Parts by weight of talc (trade name: Zeaklite) as a carrier, 1.5 parts by weight of alkylaryl sulfonate (trade name: Neoplex, supplied by Kao-Atlas K.K.) as a surfactant and 1.5 parts by weight of a nonionic and anionic surfactant (trade name: Sorpol 800A, supplied by Toho Chemical Co., Ltd.) were uniformly pulverized and mixed to prepare a carrier for a wettable powder.

90 Parts by weight of the above carrier for a wettable powder and 10 parts by weight of one of the compounds of the present invention, obtained in Examples 1 to 3, 5 to 13, 15, 16, 32 to 90, 98 and 99 (Example Numbers are used as numbers of the compounds), were uniformly pulverized and mixed to obtain herbicides.

(2) Post-emergence treatment test

Seeds of weeds such as cocklebur, velvetleaf, ivyleaf morningglory, pale smartweed, jimsonweed, rough pigweed and black nightshade and seeds of cotton were sown in 1/5,000-are Wagner pots filled with upland soil, and covered with upland soil. The seeds were grown in a greenhouse, and at the stage of 1 ~ 2 leaves of these plants, a predetermined amount of the herbicide prepared in the above (1) was suspended in water, and the suspension was uniformly sprayed onto leaf and stalk portions at a rate of 2,000 liters/hectare. Then, the plants were grown in the greenhouse, and on the 20th day after the treatment, the herbicide was evaluated for herbicidal efficacy and phytotoxicity to the crop. Tables 41 to 44 show the results.

The herbicidal efficacy and the phytotoxicity are shown according to the following ratings.

(Ratings)

Herbicidal efficacy	Ratio of remaining plant weight to plant weight in non-treated plot (%)
0	81 - 100
1	61 - 80
2	41 - 60
3	21 - 40
4	1 - 20
5	0

Phytotoxicity	Ratio of remaining plant weight to plant weight in non-treated plot (%)
-	100
±	95 - 99
+	90 - 94
++	80 - 89
+++	0 - 79

The ratio of remaining plant weight to plant weight in non-treated plot was determined on the basis of the ratio of remaining plant weight to plant weight in non-treated plot = (remaining plant weight in treated plot/plant weight in non-treated plot) x 100.

Table 41 Post-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 2	1,000	5	5	4	5	5	-	5	-
Compound 5	"	5	5	5	5	5	5	5	±
Compound 6	"	2	3	5	5	5	5	5	±
Compound 7	"	5	5	5	5	5	5	5	-
Compound 8	"	5	5	5	5	5	5	5	+
Compound 9	"	2	5	5	5	5	5	5	-
Compound 10	"	5	5	5	5	5	5	5	±
Compound 11	"	5	2	5	5	5	5	5	-
Compound 12	"	5	5	5	5	5	5	5	+
Compound 13	"	5	5	2	5	5	5	5	-
Compound 15	"	5	5	3	5	5	4	5	-
Compound 16	"	4	5	5	5	5	5	5	+

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Pale smartweed, EE: Jimsonweed, FF: Rough pigweed,
GG = Black nightshade

Table 42 Post-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 32	1,000	5	5	5	5	5	5	5	±
Compound 33	"	5	5	3	5	5	5	5	±
Compound 34	"	5	5	2	5	5	5	5	-
Compound 35	"	5	5	2	5	5	5	5	-
Compound 36	"	3	3	2	3	3	3	3	-
Compound 37	"	4	5	3	4	4	5	5	-
Compound 38	"	3	4	4	5	5	5	5	±
Compound 39	"	4	3	5	5	5	4	4	-
Compound 40	"	4	2	2	5	5	2	3	-
Compound 42	"	4	5	4	5	5	5	5	±
Compound 44	"	2	3	3	5	5	5	5	-
Compound 45	"	3	5	5	5	5	5	5	±
Compound 46	"	5	5	5	5	5	5	5	+
Compound 48	"	3	3	2	5	2	2	2	-
Compound 49	"	3	4	2	5	2	2	5	-
Compound 50	"	5	5	5	5	3	5	5	-
Compound 51	"	3	3	2	4	2	5	5	-
Compound 52	"	4	4	2	5	4	5	5	±
Compound 53	"	5	4	2	5	3	4	5	-
Compound 54	"	5	5	4	5	5	5	5	-

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Pale smartweed, EE: Jimsonweed, FF: Rough pigweed,
GG = Black nightshade

Table 43 Post-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 55	1,000	3	3	3	5	4	4	5	±
Compound 56	"	5	5	2	5	5	4	5	-
Compound 59	"	5	4	3	5	5	2	5	±
Compound 60	"	4	3	3	5	5	4	5	-
Compound 61	"	4	5	3	5	4	3	3	-
Compound 62	"	2	5	3	4	2	3	4	-
Compound 63	"	5	3	3	5	4	4	5	±
Compound 64	"	3	4	4	4	3	3	4	-
Compound 65	"	4	4	4	5	4	3	5	±
Compound 66	"	2	3	2	3	3	5	4	-
Compound 67	"	4	4	3	4	4	5	4	-
Compound 69	"	3	3	3	4	3	2	4	-
Compound 70	"	3	2	2	4	3	5	5	-
Compound 72	"	5	4	4	5	5	3	5	-
Compound 73	"	3	5	2	5	5	5	5	-
Compound 74	"	3	3	3	3	2	3	3	-
Compound 75	"	3	3	2	5	4	4	3	-
Compound 76	"	5	5	4	5	5	4	5	-
Compound 77	"	3	2	2	5	5	5	5	-
Compound 78	"	3	3	4	5	4	4	5	-
Compound 79	"	2	2	3	5	5	5	5	±

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Pale smartweed, EE: Jimsonweed, FF: Rough pigweed,
GG = Black nightshade

Table 44 Post-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 80	1,000	3	4	3	5	5	5	5	-
Compound 82	"	5	2	2	4	2	2	5	-
Compound 83	"	3	4	3	5	5	3	5	-
Compound 85	"	5	5	5	5	5	5	5	-
Compound 86	"	3	2	3	5	5	5	4	-
Compound 88	"	3	3	3	3	2	3	3	-
Compound 89	"	2	2	2	5	4	5	5	-
Compound 90	"	2	2	2	5	4	5	4	-
Compound 99	"	3	3	3	4	5	4	5	-

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Pale smartweed, EE: Jimsonweed, FF: Rough pigweed,
GG = Black nightshade

The results in Tables 41 to 44 show that the herbicide containing the triazine derivative of the present invention can control a broad range of upland weeds at a low dosage without causing phytotoxicity on cotton in post-emergence treatment. Above all, Compounds 2, 7, 9, 13, 15, 34, 35, 37, 50, 54, 61, 62, 73, 76 and 85 exhibit high safety for cotton and exhibit high herbicidal efficacy against velvetleaf belonging to malvaceous weeds to which cotton also belongs, and they particularly have excellent inter-genus selectivity.

(3) Upland soil pre-emergence treatment test

Seeds of weeds such as cocklebur, velvetleaf, ivyleaf morningglory, jimsonweed, rough pigweed, green foxtail and large crabgrass and seeds of cotton were sown in 1/5,000-are Wagner pots filled with upland soil, and covered with upland soil. Then, a predetermined amount of the herbicide prepared in the above (1) was suspended in

water and uniformly sprayed onto the soil surface. Then, the seeds were grown in a greenhouse, and on the 20th day after the treatment, the herbicide was evaluated for herbicidal efficacy and phytotoxicity to the crop. Tables 45 to 48 show the results.

The data of the herbicidal efficacy and phytotoxicity to the crop are shown on the basis of the ratings shown in the (2) post-emergence treatment test.

Table 45 Upland soil pre-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 1	3,000	5	5	5	5	5	5	5	-
Compound 2	"	5	5	5	5	5	5	5	-
Compound 3	"	5	5	5	5	5	5	5	+
Compound 5	"	3	5	2	5	5	5	5	+
Compound 8	"	3	5	5	5	5	5	5	±
Compound 10	"	5	5	5	5	5	5	5	+
Compound 12	"	5	5	5	5	5	5	5	+
Compound 13	"	3	5	5	5	5	5	5	-
Compound 15	"	5	5	5	5	5	5	5	-
Compound 16	"	5	5	5	5	5	5	5	+

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Jimsonweed, EE = rough pigweed, FF = Green foxtail,
GG = Large crabgrass

Table 46 Upland soil pre-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 32	3,000	5	5	5	5	5	5	5	+
Compound 33	"	5	5	5	5	5	5	5	±
Compound 34	"	3	5	4	5	5	5	2	-
Compound 35	"	5	5	5	5	5	5	5	-
Compound 36	"	3	4	3	3	3	3	4	-
Compound 37	"	3	5	3	3	5	3	4	-
Compound 38	"	5	4	5	5	5	4	5	-
Compound 39	"	5	5	3	5	5	5	4	±
Compound 40	"	5	2	2	5	5	5	5	-
Compound 41	"	3	2	2	3	5	3	3	-
Compound 42	"	5	5	5	5	5	5	5	+
Compound 43	"	3	3	3	3	4	3	3	-
Compound 44	"	5	5	4	5	5	5	5	-
Compound 45	"	3	5	3	5	5	4	5	±
Compound 46	"	5	5	4	5	5	5	5	-
Compound 47	"	4	4	3	4	5	3	3	+
Compound 48	"	5	5	3	5	5	5	5	-
Compound 49	"	5	5	3	5	5	5	5	-
Compound 50	"	5	5	3	5	5	5	5	-
Compound 51	"	5	5	4	5	5	5	5	+

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Jimsonweed, EE = rough pigweed, FF = Green foxtail,
GG = Large crabgrass

Table 47 Upland soil pre-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 52	3,000	5	5	3	5	5	5	5	-
Compound 53	"	3	5	3	5	5	2	5	-
Compound 54	"	5	5	4	4	5	3	3	-
Compound 55	"	4	5	4	5	5	5	5	±
Compound 56	"	3	4	3	4	5	5	5	-
Compound 57	"	3	3	3	5	5	3	3	-
Compound 58	"	3	3	3	4	5	3	3	-
Compound 59	"	3	5	3	5	5	5	5	-
Compound 60	"	3	5	3	4	5	5	5	-
Compound 61	"	3	5	3	5	5	5	5	±
Compound 62	"	3	3	3	4	4	4	4	-
Compound 63	"	3	3	4	4	5	5	5	±
Compound 64	"	3	5	4	5	5	5	5	±
Compound 65	"	4	5	4	4	5	5	4	-
Compound 66	"	4	3	4	4	3	3	3	-
Compound 67	"	3	4	3	4	5	4	4	-
Compound 68	"	3	4	3	4	5	4	4	-
Compound 69	"	4	3	3	4	4	4	4	-
Compound 70	"	3	5	3	5	5	5	4	±
Compound 71	"	3	5	3	5	5	5	5	-

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Jimsonweed, EE = rough pigweed, FF = Green foxtail,
GG = Large crabgrass

Table 48 Upland soil pre-emergence treatment test

Active ingredient in herbicide No.	Dosage g/ha	Herbicidal Efficacy							Phyto-toxicity to cotton
		AA	BB	CC	DD	EE	FF	GG	
Compound 72	3,000	3	3	3	4	4	4	3	-
Compound 73	"	4	5	4	5	5	5	5	-
Compound 74	"	3	3	3	3	3	3	3	-
Compound 75	"	3	3	3	4	5	4	3	-
Compound 76	"	5	5	5	5	5	5	5	+
Compound 77	"	4	4	3	4	5	3	3	-
Compound 78	"	3	5	5	5	5	5	5	±
Compound 79	"	3	4	5	5	5	4	4	-
Compound 80	"	3	5	4	5	5	5	5	-
Compound 81	"	2	3	3	4	3	3	3	-
Compound 82	"	5	4	4	4	5	3	3	-
Compound 83	"	3	3	3	3	5	3	4	-
Compound 84	"	4	5	3	5	5	5	5	-
Compound 85	"	4	3	3	3	5	3	3	-
Compound 86	"	3	5	3	5	5	5	5	-
Compound 87	"	3	3	3	3	5	3	3	-
Compound 88	"	3	3	3	3	3	3	3	-
Compound 89	"	5	5	4	5	5	5	5	-
Compound 90	"	3	3	3	4	5	3	3	-
Compound 98	"	5	3	5	3	5	3	3	±
Compound 99	"	3	3	3	3	4	3	3	-

AA = Cocklebur, BB = velvetleaf, CC = Ivyleaf morningglory,
DD = Jimsonweed, EE = rough pigweed, FF = Green foxtail,
GG = Large crabgrass

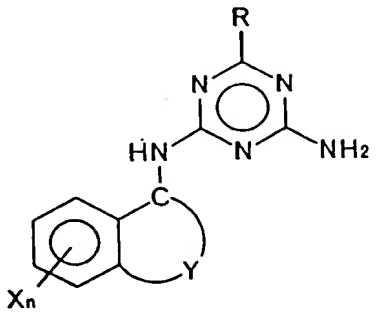
The results in Tables 45 to 48 show that the herbicide containing the triazine derivative of the present invention can control a broad range of upland weeds at a low dosage without causing phytotoxicity on cotton in post-emergence treatment. Above all, Compounds 1, 2, 13, 15, 34, 35, 37, 44, 46, 48, 49, 50, 52, 53, 54, 59, 60, 65, 71, 73, 80, 84, 86 and 89 exhibit high safety for cotton and exhibit high herbicidal efficacy against velvetleaf belonging to malvaceous weeds to which cotton also belongs, and they particularly have excellent inter-genus selectivity.

Industrial Utility

The triazine derivative of the present invention causes no phytotoxicity on cotton and can selectively control, at a low dosage, a broad range of weeds including velvetleaf belonging to malvaceous weeds to which cotton also belongs, and the triazine derivative of the present invention is therefore remarkably effective as an active ingredient for a herbicide for application to cotton fields.

CLAIMS

1. A triazine derivative of the general formula (I),



(I)

wherein X is a halogen atom, a hydroxyl group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_4 alkoxy group, a C_1-C_4 alkylthio group, a C_1-C_4 alkylsulfonyl group, a C_1-C_6 haloalkyl group, a C_1-C_4 haloalkoxy group, a phenyl-substituted C_1-C_4 alkyl group, a phenyl group or a phenoxy group, provided that when the number of X is plural, plural substituents X may be the same as, or different from, each other or two vicinal substituents X may form a saturated or unsaturated five-membered or six-membered ring together with a carbon-carbon bond in a benzene ring, n is an integer of 0 or 1 to 4,

R is

(1) a C_1-C_6 alkyl group or

(2) a substituted C_1-C_6 alkyl group having 1 to 13 substituents of one or two kinds selected from the class consisting of

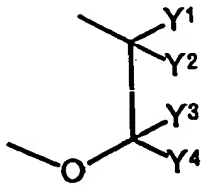
i) a halogen atom

ii) a hydroxyl group and

iii) a C_1-C_8 alkoxy group whose alkyl portion may contain a hetero atom, and

Y is a C_2-C_4 alkylene group which may be substituted with 1 to 8 C_1-C_6 alkyl groups or a divalent

group of the formula (a),



(a)

in which each of Y^1 to Y^4 is independently a hydrogen atom or a C_1-C_4 alkyl group.

2. The triazine derivative of claim 1, wherein X is a C_1-C_4 alkyl group or a halogen atom.

3. The triazine derivative of claim 1, wherein X is selected from the class consisting of methoxy, methylthio, methylsulfonyl, trifluoromethyl, trifluoromethoxy, phenoxyethyl, phenyl and phenoxy.

4. The triazine derivative of claim 2, wherein n is an integer of 1 or 2.

5. The triazine derivative of claim 4, wherein, when n is 2, each of two substituents X are independently a C_1-C_6 alkyl group or a halogen atom.

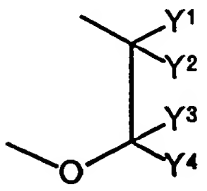
6. The triazine derivative of claim 1, wherein n is 0.

7. The triazine derivative of claim 1, wherein Y is a propylene group on which one C_1-C_4 alkyl group is subsituted.

8. The triazine derivative of claim 7, wherein Y is

a propylene group on which methyl is substituted.

9. The triazine derivative of claim 1, wherein Y is a divalent group of the formula (a),



(a)

in which each of Y^1 to Y^4 is independently a hydrogen atom or a C_1-C_4 alkyl group.

10. The triazine derivative of claim 9, wherein each of Y^1 to Y^4 is independently a hydrogen atom or methyl.

11. The triazine derivative of claim 1, wherein R is a C_1-C_6 alkyl group.

12. The triazine derivative of claim 1, wherein R is a C_1-C_6 alkyl group on which a fluorine atom, a chlorine atom or a bromine atom is substituted.

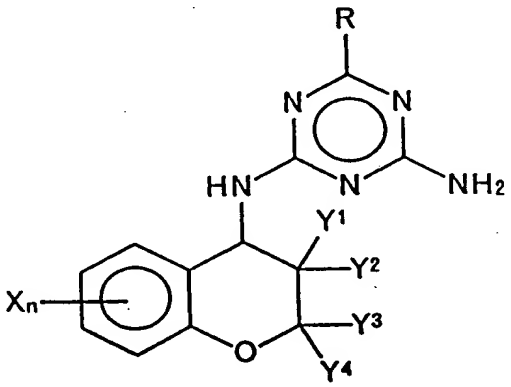
13. The triazine derivative of claim 12, wherein R is selected from the class consisting of $-CF_3$, $-CCl_3$, $-CH_2F$, $-CH_2Cl$, $-CH_2Br$, $-C_2F_5$, $-CH_2CH_2F$, $-CHF(CH_3)$, $-CHCl(CH_3)$, $-CHBr(CH_3)$, $-CHF(CF_3)$, $-CF(CH_3)_2$, $-CCl(CH_3)_2$, $-CBr(CH_3)_2$, $-CHF(CH_2CH_3)$, $-CHCl(CH_2CH_3)$ and $-CHBr(CH_2CH_3)$ groups.

14. The triazine derivative of claim 1, wherein R is a C_1-C_6 alkyl group on which a hydroxyl group is substituted.

15. The triazine derivative of claim 14, wherein R is selected from the class consisting of $-CH_2OH$, $-C_2H_4OH$, $-CH(OH)CH_3$, $-CH(OH)C_2H_5$, $-C(CH_3)_2OH$ and $-C(CH_3)_2CH_2OH$ groups.

16. The triazine derivative of claim 1, wherein R is a C_1-C_6 alkyl group substituted with a group in which a heterocyclic group containing an oxygen atom and an oxygen atom bond to each other.

17. A process for the production of a triazine derivative of the general formula (I),



(I)

wherein X is a halogen atom, a hydroxyl group, a cyano group, a C_1-C_6 alkyl group, a C_1-C_4 alkoxy group, a C_1-C_4 alkylthio group, a C_1-C_4 alkylsulfonyl group, a C_1-C_6 haloalkyl group, a C_1-C_4 haloalkoxy group, a phenyl-substituted C_1-C_4 alkyl group, a phenyl group or a phenoxy group, provided that when the number of X is plural, plural substituents X may be the same as, or different from, each other or two vicinal substituents X may form a saturated or unsaturated five-membered or six-membered ring together with a carbon-carbon bond in a benzene ring, n is an integer of 0 or 1 to 4,

R is

(1) a C_1-C_6 alkyl group or

(2) a substituted C_1-C_6 alkyl group having 1 to 13

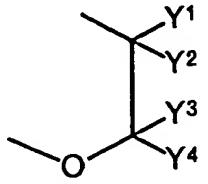
substituents of one or two kinds selected from the class consisting of

i) a halogen atom

ii) a hydroxyl group and

iii) a C_1-C_8 alkoxy group whose alkyl portion may contain a hetero atom, and

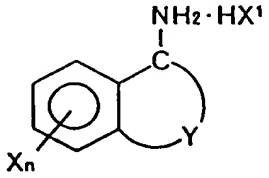
Y is a C_2-C_4 alkylene group which may be substituted with 1 to 8 C_1-C_6 alkyl groups or a divalent group of the formula (a),



(a)

in which each of Y^1 to Y^4 is independently a hydrogen atom or a C_1-C_4 alkyl group,

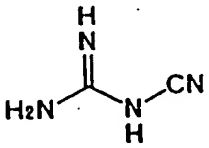
which comprises reacting a compound of the general formula (II),



(II)

wherein X, n and Y are as defined above and X^1 is a halogen atom,

with cyanoguanidine of the formula (III),



(III)

and then reacting the reaction product with an ester of the

general formula (IV),

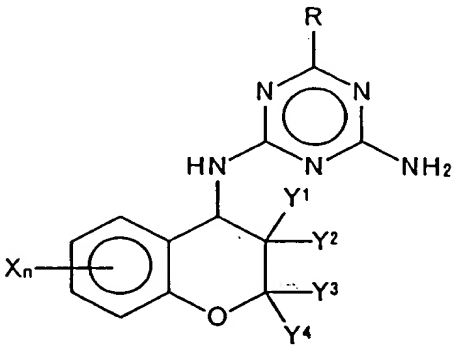
$$RCOOR^1 \qquad (IV)$$

wherein R is as defined above and R^1 is a C_1-C_4 alkyl group.

18. A herbicide containing the triazine derivative of the general formula (I) recited in claim 1 or a salt thereof as an active ingredient.

ABSTRACT

The present invention relates to a triazine derivative of the general formula (I),



(I)

wherein each symbols are as defined in claims, a process for the production thereof, and a herbicide containing the triazine derivative of the above general formula (I) or a salt thereof as an active ingredient.

The above triazine derivative of the present invention is free from causing phytotoxicity on cotton and capable of selectively controlling a broad range of upland weeds including velvetleaf belonging to malvaceous weeds to which cotton also belongs at a low dosage, and the herbicide of the present invention, which contains the above triazine derivative as an active ingredient, is therefore remarkably useful as a herbicide for application in cotton fields.